Andrew Freistein 10/530,767

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	FEB 27	New STN AnaVist pricing effective March 1, 2006
NEWS 4	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 5	MAY 11	KOREAPAT updates resume
NEWS 6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS 7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS 8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS 10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS 11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS 13	JUl 14	FSTA enhanced with Japanese patents
NEWS 14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS 15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 18	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 19	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 20	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 21	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 22	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 23	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:50:45 ON 18 OCT 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:50:54 ON 18 OCT 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 OCT 2006 HIGHEST RN 910535-95-4
DICTIONARY FILE UPDATES: 16 OCT 2006 HIGHEST RN 910535-95-4

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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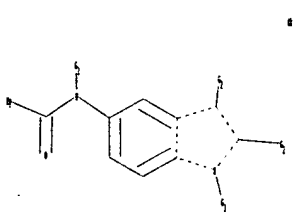
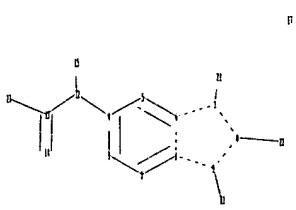
http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10530767\d.str





chain nodes :
11 12 13 14 15 17 21 22 23
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
4-12 7-21 8-22 9-11 12-13 12-15 13-14 13-23
ring bonds :
1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9
exact/norm bonds :
1-6 1-9 4-12 6-7 7-8 7-21 8-9 8-22 9-11 12-13 12-15 13-14 13-23
normalized bonds :
1-2 2-3 3-4 4-5 5-6

G1:H,CH3

G2:H,[*1]

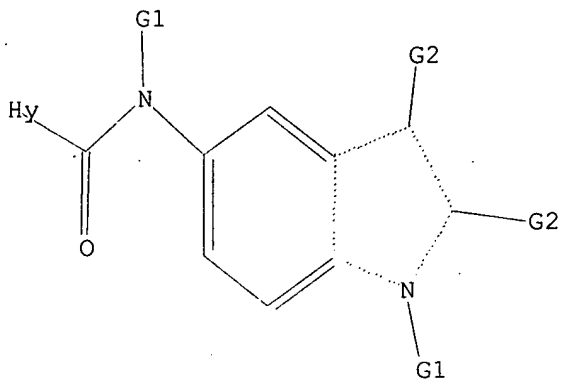
Connectivity :
17:1 E exact RC ring/chain

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS
12:CLASS 13:CLASS 14:CLASS 15:CLASS 17:CLASS 21:CLASS 22:CLASS 23:Atom

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR

Ak



G1 H,Me
G2 H,[@1]

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 10:51:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6747 TO ITERATE

29.6% PROCESSED 2000 ITERATIONS 4 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 130016 TO 139864
PROJECTED ANSWERS: 49 TO 489

L2 4 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 10:51:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 132387 TO ITERATE

100.0% PROCESSED 132387 ITERATIONS 268 ANSWERS
SEARCH TIME: 00.00.04

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L3 268 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 167.38 167.59

FILE 'HCAPLUS' ENTERED AT 10:51:48 ON 18 OCT 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 Oct 2006 VOL 145 ISS 17
FILE LAST UPDATED: 16 Oct 2006 (20061016/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 76 L3

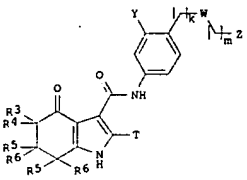
=> s l4 and py<2004
23875054 PY<2004
L5 43 L4 AND PY<2004

=> d ibib abs hitstr

L5 ANSWER 1 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:969755 HCAPLUS
TITLE: Preparation of fused pyrrolecarboxamides as a new class of GABA brain receptor ligands
INVENTOR(S): Albaugh, Pamela; Shaw, Kenneth; Hutchison, Alan
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: U.S., 49pp., Cont.-in-part of U.S. Ser. No. 387,311.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 7109351	B1	20060919	US 2000-651207	20000830
ZA 2002001649	A	20030314	ZA 2002-1649	20020227
<--				
US 2005014939	A1	20050120	US 2004-909022	20040730
PRIORITY APPLN. INFO.:			US 1999-387311	B2 19990831
			US 1999-151789P	P 19990831
			US 2000-651207	A3 20000830

GI



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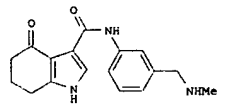
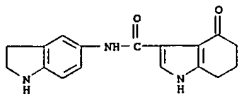


II

AB The title compds. [I; Y = H, OH, halo, etc.; T = halo, H, OH, etc.; W = O,

L5 ANSWER 1 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
NH, C(O), etc.; Z = OH, NH2, alkoxy, etc.; k, m = 0-3; R3-R6 = H, alkyl, CO2R11, etc. (wherein R11 = alkyl, cycloalkyl, etc.); or R3-R4 are taken together to form a cyclic moiety having 3-7 carbon atoms; or R5-R6 are taken together to form a cyclic moiety having 3-7 carbon atoms] which are highly selective agonists, antagonists or inverse agonists for GABAA brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABAA brain receptors, and therefore are useful in the diagnosis and treatment of anxiety, depression, Alzheimer's dementia, sleep and seizure disorders, overdose with benzodiazepine drugs and for enhancement of memory, were prepd. E.g., a multi-step synthesis of II which showed Ki of 90 nM against GABAA receptor binding, was given. Pharmaceutical compns., including packaged pharmaceutical compns., comprising the compds. I are further provided. Compds. I are also useful as probes for the localization of GABAA receptors in tissue samples.
IT 194098-46-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyrrolecarboxamides as a new class of GABA brain receptor ligands)
RN 194098-46-9 HCAPLUS
CN 1H-Indole-3-carboxamide, N-(2,3-dihydro-1H-indol-5-yl)-4,5,6,7-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 2-43

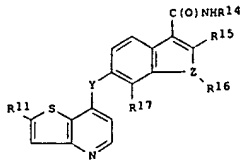
L5 ANSWER 2 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:1006988 HCAPLUS
DOCUMENT NUMBER: 140:59632
TITLE: Preparation of benzofused heteroaryl amide derivatives of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders
INVENTOR(S): Romines, William Henry, III; Kania, Robert Steven; Lou, Jihong; Collins, Michael Raymond; Cripps, Stephan James; He, Mingying; Zhou, Ru; Palmer, Cynthia Louise; Deal, Judith Gail
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003106462	A1	20031224	WO 2003-IB2393	20030604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2489466	AA	20031224	CA 2003-2489466	20030604
AU 2003233134	A1	20031231	AU 2003-233134	20030604
EP 1515975	A1	20050323	EP 2003-727888	20030604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003011806	A	20050329	BR 2003-11806	20030604
CN 1671714	A	20050921	CN 2003-818109	20030604
JP 2005534669	T2	20051117	JP 2004-513293	20030604
US 2004009965	A1	20040115	US 2003-460010	20030611
US 6869962	B2	20050322		
US 2004186126	A1	20040923	US 2004-796226	20040309
US 7045528	B2	20060516		
NO 2004005103	A	20050217	NO 2004-5103	20041124
US 2006079548	A1	20060413	US 2005-256477	20051021
PRIORITY APPLN. INFO.:			US 2002-389110P	P 20020614
			WO 2003-IB2393	W 20030604
			US 2003-460010	A3 20030611

L5 ANSWER 2 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
US 2004-796226 A1 20040309

OTHER SOURCE(S): MARPAT 140:59632
GI



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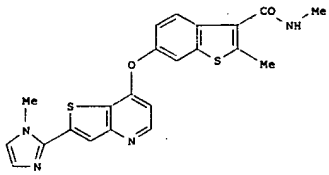
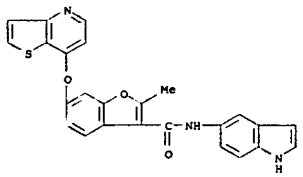


II

AB The invention relates to benzofused heteroaryl amide derivs. of thienopyridines (shown as I; variables defined below; e.g. II) and to prodrugs or metabolites thereof, or pharmaceutically acceptable salts or solvates of said compds., prodrugs, and metabolites. The invention also relates to pharmaceutical compns. containing I and to methods of treating hyperproliferative disorders in a mammal by administering I. Inhibitory activities of >200 examples of I are tabulated for a number of tyrosine kinases. Also, pharmacokinetics of 19 examples of I in mice and metabolism in human liver microsomes were analyzed. Although the methods of preparation are not claimed, 140 example prepns. are included. For example, II was prepared in 5 steps starting from 3-methoxybenzenethiol and bromoacetaldehyde di-Et acetal and involving intermediates 1-[(2,2-diethoxyethyl)sulfanyl]-3-methoxybenzene, 6-methoxy-2-methylbenzo[b]thiophene, 6-methoxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide, and 6-hydroxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide; the last step comprises reaction of 7-chloro-2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridine and 6-hydroxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide (40 %). For I: Y is NH, O, S, or CH2; Z is O, S, or N; R14 is a C1-C6 alkyl, C1-C6 alkylamino, C1-C6 alkylhydroxy, C3-C10 cycloalkylamino, or methylureido group; R15 and R17 = H, halo, or a C1-C6 alkyl group (un)substituted by ≥1 R5 groups. R16 is H or a C1-C6 alkyl group when Z is N, and R16 is absent when Z is O or S; R11 is H, C1-C6 alkyl, C3-C10 cycloalkyl, C(O)NR12R3, C(O)(C6-C10 aryl), (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tNR12R13, SO2NR12R13 or CO2R12. Each R5 = halo, cyano, nitro, trifluoromethoxy, trifluoromethyl, azido, C(O)R8, C(O)OR8, OC(O)R8, OC(O)OR8, NR6C(O)R7, C(O)NR6R7, NR6R7, OR9, SO2NR6R7, C1-C6 alkyl, C3-C10 cycloalkyl, C1-C6 alkylamino, (CH2)jO(CH2)qNR6R7, (CH2)tO(CH2)qOR9, (CH2)tOR9, S(O)j(C1-C6 alkyl), (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), C(O)(CH2)t(C6-C10 aryl), (CH2)tO(CH2)j(C6-C10 aryl), (CH2)tO(CH2)q(5 to 10 membered heterocyclic), C(O)(CH2)t(5 to 10 membered heterocyclic), (CH2)jNR7(CH2)qN R6R7, (CH2)jNR7CH2C(O)NR6R7, (CH2)jNR7(CH2)qNR9C(O)R8, (CH2)jNR7(CH2)tO(CH2)qOR9, (CH2)jNR7(CH2)qS(O)j(C1-C6 alkyl), (CH2)jNR7(CH2)tR6, SO2(CH2)t(C6-C10 aryl), and SO2(CH2)t(5 to 10 membered heterocyclic). Each R6 and R7 = H, OH, C1-C6 alkyl, C3-C10 cycloalkyl, (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tO(CH2)qOR9, (CH2)tCN(CH2)tOR9, (CH2)tCN(CH2)tR9 and (CH2)tOR9; each R8 = H, C1-C10 alkyl, C3-C10 cycloalkyl, (CH2)t(C6-C10 aryl), and (CH2)t(5 to 10 membered heterocyclic); t = 0-6; j = 0-2; q = 2-6; each R9 and R10 = H, OR6, C1-C6 alkyl, and C3-C10 cycloalkyl. Each R12 and R13 = H, C1-C6 alkyl, C3-C10 cycloalkyl, (CH2)t(C3-C10 cycloalkyl), (CH2)t(C6-C10 aryl), (CH2)t(5 to 10 membered heterocyclic), (CH2)tO(CH2)qOR9, and (CH2)tOR9; addnl. details including provisos are given in the claims.

IT 638219-25-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzofused heteroaryl amide derivs. of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders)

RN 638219-25-7 HCAPLUS
CN 3-Benzofurancarboxamide, N-1H-indol-5-yl-2-methyl-6-(thieno[3,2-b]pyridin-7-yloxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

L5 ANSWER 3 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

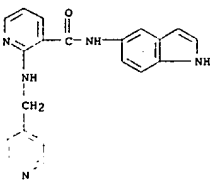
ACCESSION NUMBER: 2003:950057 HCAPLUS
DOCUMENT NUMBER: 140:16647
TITLE: Preparation of 2-aminopyridine-3-carboxamides as remedies for angiogenesis mediated diseases
INVENTOR(S): Askew, Benny; Adams, Jeffrey; Booker, Shon; Chen, Guoqing; DiPietro, Lucian V.; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie D.; Habgood, Gregory J.; Handley, Michael; Huang, Qi; Kim, Tae-seong; Li, Aiwen; Nishimura, Nobuko; Nomak, Rana; Patel, Vinod F.; Riahi, Babak; Kim, Joseph L.; Xi, Ning; Yang, Kevin; Yuan, Chester Chenguang
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 252 pp., Cont.-in-part of U.S. Ser. No. 46,681.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003225106 <--	A1	20031204	US 2002-197974	20020717
US 6878714	B2	20050412		
US 2003125339 <--	A1	20030703	US 2002-46681	20020110
US 6995162	B2	20060207		
ZA 2003005197	A	20040319	ZA 2003-5197	20030704
CA 2492100	AA	20040122	CA 2003-2492100	20030715
WO 2004007458	A1	20040122	WO 2003-US22417	20030715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003252011	A1	20040202	AU 2003-252011	20030715
EP 1537084	A1	20050608	EP 2003-764794	20030715
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501195	T2	20060112	JP 2004-521959	20030715
BG 108012	A	20041130	BG 2003-108012	20030721
US 2005261313	A1	20051124	US 2004-14184	20041215
US 2006040956	A1	20060223	US 2005-234713	20050923
AU 2006200437	A1	20060223	AU 2006-200437	20060201

PRIORITY APPLN. INFO.:

US 2001-261339P	P	20010112
US 2001-323764P	P	20010919

L5 ANSWER 3 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

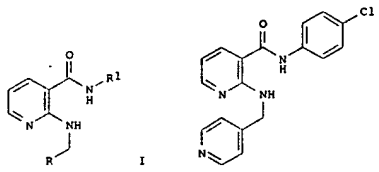


REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2002-46681	A2	20020110
AU 2002-248340	A3	20020111
US 2002-197974	A	20020717
WO 2003-US22417	W	20030715

OTHER SOURCE(S): MARPAT 140:16647
GI



I II

AB The title compds. [I; R = (un)substituted 4-pyridyl, 2-pyridyl, 4-pyrimidinyl, 4-quinolyl, etc.; R1 = (un)substituted aryl, cycloalkyl, 5-6 membered heteroaryl, 9-10 membered bicyclic and 11-14 membered tricyclic heterocyclyl], which are effective for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like, were prepared Thus, the title compound II was prepared from 2-aminonicotinic acid, 4-chloroaniline, and 4-pyridinecarboxaldehyde. The compds. I showed inhibition of KDR kinase at < 50 μM. Many compds. I inhibited VEGF-stimulated HUVEC proliferation at a level below 50 nM. Pharmaceutical composition comprising the compound I is claimed.

IT 453562-42-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aminopyridine-3-carboxamides for treating angiogenesis mediated diseases)

RN 453562-42-0 HCAPLUS
CN 3-Pyridinecarboxamide, N-1H-indol-5-yl-2-[(4-pyridinylmethyl)amino]- (9CI)
(CA INDEX NAME)

L5 ANSWER 4 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

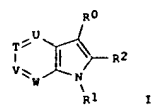
ACCESSION NUMBER: 2003:837074 HCAPLUS
DOCUMENT NUMBER: 139:337981
TITLE: Preparation of indoles as p38 MAP kinase inhibitors
INVENTOR(S): Frederickson, Martyn; Gill, Adrian Liam; Padova, Alessandro; Congreve, Miles Stuart
PATENT ASSIGNEE(S): Astex Technology Limited, UK
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087087 <--	A2	20031023	WO 2003-GB1507	20030408
WO 2003087087	A3	20031218		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003224257 <--	A1	20031027	AU 2003-224257	20030408
EP 1495016	A2	20050112	EP 2003-720680	20030408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005526831	T2	20050908	JP 2003-584043	20030408
US 2005124620	A1	20050609	US 2004-962085	20041008

PRIORITY APPLN. INFO.:

GB 2002-8248	A	20020409
GB 2002-15180	A	20020629
WO 2003-GB1507	W	20030408

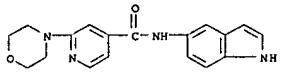
OTHER SOURCE(S): MARPAT 139:337981
GI



I

AB The title compds. [I; U, T, V and W are each a N atom or CR4 provided that

L5 ANSWER 4 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
no more than three of U, T, V and W are N atoms; R0 = H, alkyl, halo, AR3;
R1 = H, alkyl, AR3; provided that only one of R0 and R1 = AR3; R2 = H, alkyl, halo; A = carbon- or heteroatom-contg. linker group having a linking chain length of one or two atoms; R3 = 5-12 membered monocyclic or
bicyclic heteroaryl; R4 = H, OH, halo, NO2, CN, etc.; with the provisos], useful for use in the prophylaxis or treatment of a disease state or condition mediated by a p38 MAP kinase such as rheumatoid arthritis and osteoarthritis, were prepd. and formulated. Thus, reacting 4-vinylpyridine with 5-methylindole afforded I [U, V and W = CH; Y = CMe; R0 = 2-(4-pyridyl)ethyl; R1, R2 = H] which showed IC50 of 250 μM against p38 MAP kinase.
IT 616243-12-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indoles as p38 MAP kinase inhibitors)
RN 616243-12-0 HCAPLUS
CN 4-Pyridinecarboxamide, N-1H-indol-5-yl-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:492184 HCAPLUS
DOCUMENT NUMBER: 139:69263
TITLE: Preparation of benzimidazoles as gonadotropin-releasing hormone receptor antagonists and their use against cancer and other diseases
INVENTOR(S): Poitout, Lydie; Brault, Valerie; Ferrandis, Eric; Thurieau, Christophe
PATENT ASSIGNEE(S): Societe De Conseils De Recherches Et D'Applications Scientifiques SCRAS, Fr.
SOURCE: Fr. Demande, 140 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2833948	A1	20030627	FR 2001-16647	20011221
FR 2833948	B1	20040206		
CA 2471044	AA	20030703	CA 2002-2471044	20021220
WO 2003053939	A1	20030703	WO 2002-FR4477	20021220
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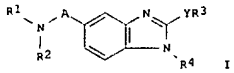
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002365016	A1	20030709	AU 2002-365016	20021220
EP 1467974	A1	20041020	EP 2002-805404	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1615300	A	20050511	CN 2002-827409	20021220
JP 2005514397	T2	20050519	JP 2003-554655	20021220
NZ 533558	A	20051223	NZ 2002-533558	20021220
US 2005049290	A1	20050303	US 2004-499384	20040616
NO 2004003095	A	20040719	NO 2004-3095	20040719

PRIORITY APPLN. INFO.:
FR 2001-16647 A 20011221
WO 2002-FR4477 W 20021220

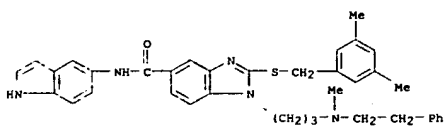
OTHER SOURCE(S): MARPAT 139:69263
GI

L5 ANSWER 5 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB Benzimidazoles (shown as I; variables defined below; e.g. N,N-diisobutyl-1-{3-[methyl[2-(pyridin-2-yl)ethyl]amino]propyl}-2-[(3,4,5-trimethoxyphenyl)amino]-1H-benzimidazole-5-carboxamide hydrochloride) are claimed. These products have an antagonistic activity towards GnRH (Gonadotropin-Releasing Hormone) (no data). The invention also relates to
pharmaceutical compns. containing I and their use for the preparation of a drug.
For I: A = -CH2- or -C(O)-; Y = -S- or -NH-; R1 and R2 = H, (C1-C8)alkyl, (C5-C9)bicycloalkyl (un)substituted by ≥1 (C1-C6) alkyl, or -(CH2)n-X (X = amino, (C1-C6)alkylamino, aryl, etc.); or R1 and R2 together form, with the N atom to which they are attached, heterocycloalkyl, heterobicycloalkyl, etc. R3 = -(CH2)p-W3-(CH2)p-Z3 (W3 = covalent bond, -CH(OH)- or -C(O)-; Z3 = (C1-C6)alkyl, adamantyl, aryl, heteroaryl, etc.); R4 = -(CH2)s-R''4 (R''4 = heterocycloalkyl containing at
least a N atom and (un)substituted by (C1-C6)alkyl or aralkyl, heteroaryl containing at least a N atom and (un)substituted by (C1-C6)alkyl, etc.); addnl. details are given in the claims. Although the methods of preparation
are not claimed, 10 example prepns. and characterization data for .apprx.450 examples of I are included.
IT 549538-34-3P, N-(Indol-5-yl)-1-[3-[(methyl)(2-phenylethyl)amino]propyl]-2-[(3,5-dimethylbenzyl)thio]-1H-benzimidazole-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazoles as gonadotropin-releasing
hormone receptor antagonists and their use against cancer and other diseases)
RN 549538-34-3 HCAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[[(3,5-dimethylphenyl)methyl]thio]-N-1H-indol-5-yl-1-[3-[methyl(2-phenylethyl)amino]propyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:356424 HCAPLUS
DOCUMENT NUMBER: 138:368765
TITLE: Preparation of 4-oxo-4H-chromene-2-carboxamides and 4-oxo-1,4-dihydroquinoline-2-carboxamides as 5-HT antagonists for treatment of psychiatric disorders
INVENTOR(S): Chapdelaine, Marc; Davenport, Timothy; Haeberlein, Markus; Horchler, Carey; McCauley, John; Pierson, Edward; Sohn, Daniel
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037872	A1	20030508	WO 2002-SE1989	20021101

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2465350	AA	20030508	CA 2002-2465350	20021101

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EP 1451158	A1	20040901	EP 2002-782061	20021101

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

BR 2002013838	A	20041228	BR 2002-13838	20021101
JP 2005511569	T2	20050428	JP 2003-540154	20021101
US 2005096312	A1	20050505	US 2003-494197	20021101
ZA 2004003202	A	20050426	ZA 2004-3202	20040428
NO 2004002140	A	20040722	NO 2004-2140	20040525
US 2006178372	A1	20060810	US 2006-397081	20060404

PRIORITY APPLN. INFO.:

SE 2001-3649	A	20011101
WO 2002-SE1989	W	20021101
US 2004-494197	B1	20041126

OTHER SOURCE(S): MARPAT 138:368765
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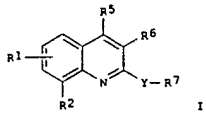
L5 ANSWER 6 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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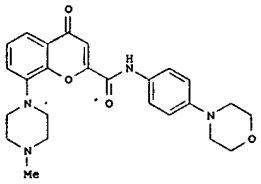


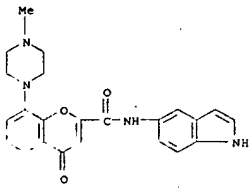
II

AB Quinolines I [wherein R1 = independently H, halo, OH, CN, MeO, MeS, NHA, NA2, NHCOA, CONH2, CONHA, CONA2, OA, aryl, or (un)substituted (cyclo)alkyl; R2 = NR3(CH2)nN(R3)2, QN(R3)2, NR3QR3, or (un)substituted piperazinyl, homopiperazinyl, or 1,4-diazacyclooctyl; R3 = H, AOH, or (un)substituted (cyclo)alkyl, alkenyl, or alkynyl; R4 = H or (un)substituted alkyl; R5 = O, OR4, N(R4)2 or SR4; R6 = H or Me; R7 = (un)substituted aryl or heterocyclyl; R8 = CH2, CO, SO2, SO2NH, CONH, O, S, SO, or heterocyclyl connected to R7 by a ring fusion or single bond; A = (un)substituted (cyclo)alkyl, alkenyl, or alkynyl; Q = heterocyclyl; Y = CONH, CONA, NHCO, CSNH, CH2NH, COCH2, CH2CO, CO-piperazinediyl, COR8, NACO, CSNA, CH2NA, NACH2, or 5-membered heterocyclyl] are disclosed as 5-HT1B and 5-HT1D antagonists. Related 4-oxo-4H-chromene-2-carboxamides and 4-oxo-1,4-dihydroquinoline-2-carboxamides were prepared and tested for biol. activity. For example, reaction of di-Et acetylenedicarboxylate with 2-bromophenol in the presence of a catalytic amount of tetrabutylammonium fluoride afforded 2-(2-bromophenoxy)but-2-enedioic acid di-Et ester (91%), which was saponified with NaOH to give the diacid (88%). Cyclization using H2SO4 in EtOH provided Et 8-bromo-4-oxo-4H-chromene-2-carboxylate (24%). Pd-catalyzed substitution with N-methylpiperazine (70%), conversion to the HCl salt of the acid (100%), and amidation with 4-(4-morpholinyl)aniline in the presence of HOBt and TBTU in DMF and TEA gave II. All example compds. showed affinity for 5-HT1B and 5-HT1D receptors with Ki values of < 10 μM. II was among twelve example compds. which reversed 5-HT1B agonist-induced hypothermia in guinea pigs in a dosage range of 0.006 mg/kg - 5.5 mg/kg. In addition, four chromenones

L5 ANSWER 6 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

demonstrated activity in a learned helplessness assay for antidepressant/antianxiety activity. I are useful for the treatment of psychiatric disorders including but not limited to depression, generalized anxiety, eating disorders, dementia, panic disorder, and sleep disorders (no data). The compds. may also be useful in the treatment of gastrointestinal disorders, motor disorders, endocrine disorders, vasospasm, and sexual dysfunction (no data).

IT 442548-43-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-HT antagonist; preparation of chromenones and quinolinones as 5-HT1B and 5-HT1D antagonists for treatment of psychiatric disorders)
RN 442548-43-8 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, N-1H-indol-5-yl-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:356423 HCAPLUS
DOCUMENT NUMBER: 138:368764
TITLE: Preparation of 4-oxo-4H-chromene-2-carboxamides and 4-oxo-1,4-dihydroquinoline-2-carboxamides as 5-HT antagonists for treatment of psychiatric disorders
INVENTOR(S): Chapdelaine, Marc; Davenport, Timothy; Haeberlein, Markus; Horchler, Carey; Pierson, Edward; Sohn, Daniel; McCauley, John
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037871	A1	20030508	WO 2002-SE1987	20021101

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2465344	AA	20030508	CA 2002-2465344	20021101

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EP 1451157	A1	20040901	EP 2002-782060	20021101

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

BR 2002013748	A	20041019	BR 2002-13748	20021101
US 2005085457	A1	20050421	US 2003-494196	20021101
JP 2005511568	T2	20050428	JP 2003-540153	20021101
ZA 2004003207	A	20050114	ZA 2004-3207	20040428
NO 2004002141	A	20040722	NO 2004-2141	20040525

PRIORITY APPLN. INFO.:

SE 2001-3648	A	20011101
WO 2002-SE1987	W	20021101

OTHER SOURCE(S): MARPAT 138:368764
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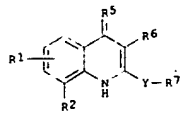
L5 ANSWER 7 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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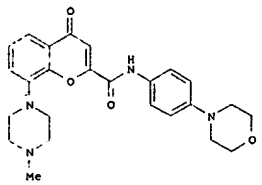


II

AB Title quinolinones I [wherein R1 = H, halo, OH, CN, MeO, MeS, NHA, NA2, NHCOA, CONH2, CONHA, CONA2, OA, aryl, or (un)substituted (cyclo)alkyl; R2 = NR3(CH2)nN(R3)2, QN(R3)2, NR3QR3, or (un)substituted piperazinyl, homopiperazinyl, or 1,4-diazacycloоctyl; R3 = H, AOH, or (un)substituted (cyclo)alkyl, alkenyl, or alkynyl; R4 = H or (un)substituted alkyl; R5 = O, NR4, or S; R6 = H or Me; R7 = (un)substituted aryl or heterocyclyl; R8 = CH2, CO, SO2, SO2NH, CONH, O, S, SO, or heterocyclyl connected to R7 by a ring fusion or single bond; A = (un)substituted (cyclo)alkyl, alkenyl, or alkynyl; Q = heterocyclyl; Y = CONH, CONA, NHCO, CSNH, CH2NH, COCH2, CH2CO, CO-piperazinediyl, COR8, NACO, CSNA, CH2NA, NACH2, or 5-membered heterocyclyl] and related chromenones were prepared as 5-HT1B and 5-HT1D antagonists. For example, reaction of di-Et acetylenedicarboxylate with 2-bromophenol in the presence of a catalytic amount of tetrabutylammonium fluoride afforded 2-(2-bromophenoxy)but-2-enedioic acid di-Et ester (91%), which was saponified with NaOH to give the diacid (88%). Cyclization using H2SO4 in EtOH provided Et 8-bromo-4-oxo-4H-chromene-2-carboxylate (24%). Pd-catalyzed substitution with N-methylpiperazine (70%), conversion to the HCl salt of the acid (100%), and amidation with 4-(4-morpholinyl)aniline in the presence of HOBt and TBTU in DMF and TEA gave II. All example compds. showed affinity for 5-HT1B and 5-HT1D receptors with Ki values of < 10 μM. II was among twelve example compds. which reversed 5-HT1B agonist-induced hypothermia in guinea pigs in a dosage range of 0.006 mg/kg - 5.5 mg/kg. In addition, four chromenones demonstrated activity in a learned helplessness assay for antidepressant/antianxiety activity. Thus,

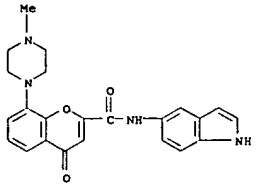
L5 ANSWER 7 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

I are useful for the treatment of psychiatric disorders including but not limited to depression, generalized anxiety, eating disorders, dementia, panic disorder, and sleep disorders (no data). The compds. may also be useful in the treatment of gastrointestinal disorders, motor disorders, endocrine disorders, vasospasm, and sexual dysfunction (no data).

IT 442548-43-8P, 8-(4-Methylpiperazin-1-yl)-4-oxo-4H-chromene-2-carboxylic acid N-(1H-indol-5-yl)amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-HT antagonist; preparation of chromenones and quinolinones as 5-HT1B and 5-HT1D antagonists for treatment of psychiatric disorders)

RN 442548-43-8 HCAPLUS

CN 4H-1-Benzopyran-2-carboxamide, N-1H-indol-5-yl-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

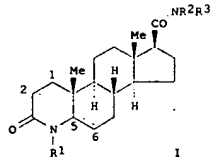
L5 ANSWER 8 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:117633 HCAPLUS
DOCUMENT NUMBER: 138:170400
TITLE: Androgen receptor modulators and methods of use thereof
INVENTOR(S): Hutchinson, John H.; Breslin, Michael J.; Halczenko, Wasyl; Duggan, Mark E.; Harada, Shunichi; Schmidt, Azriel; Towler, Dwight; Sahoo, Soumya P.; Rodan, Gideon A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 270 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011302 <--	A1	20030213	WO 2002-US23761	20020726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003065004 <--	A1	20030403	US 2002-205634	20020725
US 6645974	B2	20031111		
CA 2455179 <--	AA	20030213	CA 2002-2455179	20020726
EP 1420796	A1	20040526	EP 2002-756687	20020726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2004538307	T2	20041224	JP 2003-516532	20020726
PRIORITY APPLN. INFO.:			US 2001-308841P	P 20010731
			WO 2002-US23761	W 20020726

OTHER SOURCE(S): MARPAT 138:170400
GI

L5 ANSWER 8 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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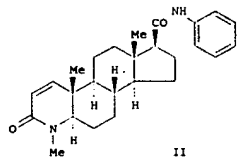


II

AB 4-Azaandrostenes, such as I [1,2-double bond, 5,6-single bond; 1,2-single bond, 5,6-double bond, 1,2-, 5,6-single bond; R1 = alkyl, alkenyl, cycloalkyl, aryl, fluoroalkyl, etc.; R2 = aryl, alkyl, arylalkyl, aminoalkyl, etc.; R3 = H, aryl, alkyl, etc.], were prepared for therapeutic use, either alone or in combination with other agents, for modulating the androgen receptor in a tissue selective manner in a patient in need of such modulation, as well as in a method of agonizing the androgen receptor in a patient, and in particular the method wherein the androgen receptor is antagonized in the prostate of a male patient or in the uterus of a female patient and agonized in bone and/or muscle tissue. These compds. are useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, such as osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, post-menopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, arthritis and joint. Thus, 4-azaandrostene II was prepared via a generally described synthetic procedure starting from Me 3-oxo-4-aza-5α-androst-1-ene-17β-carboxylate. The prepared 4-azaandrostenes were assayed for affinity for endogenously expressed androgen receptor, for delay of prostate gland deterioration after orchidectomy in rats, and for bone formation in ovariectomized rats. Pharmaceutical compns. of the 4-azaandrostenes were also presented.

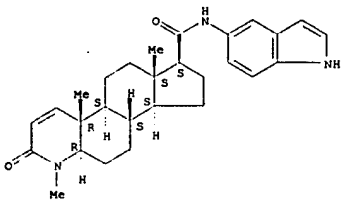
IT 496947-81-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of androgen receptor modulators for pharmaceutical uses)

RN 496947-81-0 HCAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-1H-indol-5-yl-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

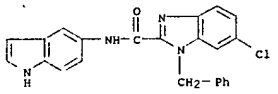
L5 ANSWER 8 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 9 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

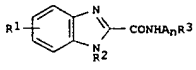


L5 ANSWER 9 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:23527 HCAPLUS
DOCUMENT NUMBER: 138:89811
TITLE: Method of inhibiting neoplastic cells with benzimidazolecarboxamides.
INVENTOR(S): Pamukcu, Rifat; Piazza, Gary A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont. of U.S. Ser. No. 199,664, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003008832	A1	20030109	US 2001-3868	20011024
PRIORITY APPLN. INFO.:			US 1998-199864	B1 19981125

OTHER SOURCE(S): MARPAT 138:89811
GI



I

AB A method of treating precancerous lesions comprises administration of title compds. [I; R1 = H, halo; R2 = phenylalkyl; R3 = (substituted) indolyl, indolinyl, indazolyl, quinolinonyl, benzoxazinyl; A = alkylene; n = 0, 1] (no data). Thus, Me 1-benzyl-6-chlorobenzimidazole-2-carboxylate (preparation given) and 1-[3-(2-isopropylimidazol-1-yl)propyl]-5-aminomethylindole were stirred at 80° for 1.5 h to give 1-benzyl-6-chloro-2-[1-[3-(2-isopropylimidazol-1-yl)propyl]indol-5-ylmethylaminocarbonyl]benzimidazole fumarate.

IT 187738-82-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(inhibiting neoplastic cells with benzimidazolecarboxamides)

RN 187738-82-5 HCAPLUS
CN 1H-Benzimidazole-2-carboxamide, 6-chloro-N-1H-indol-5-yl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 10 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:868923 HCAPLUS
DOCUMENT NUMBER: 137:352898
TITLE: Preparation of bisarylcarboxamides as Apo B inhibitors for treatment of diabetes and related conditions
INVENTOR(S): Takasugi, Hisashi; Terasawa, Takeshi; Inoue, Yoshikazu; Nakamura, Hideko; Nagayoshi, Akira; Furukawa, Yoshiro; Mikami, Masafumi; Hinoue, Kazumasa; Ohtsubo, Makoto
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Daiso Co., Ltd.; et al.
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090347	A1	20021114	WO 2002-JP3529	20020409
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1383760	A1	20040128	EP 2002-714555	20020409
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004532856	T2	20041028	JP 2002-587427	20020409
CA 2468716	AA	20030605	CA 2002-2468716	20021024
WO 2003045921	A1	20030605	WO 2002-JP11034	20021024
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2002344567	A1	20030610	AU 2002-344567	20021024
EP 1472226	A1	20041103	EP 2002-777939	20021024
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JP 2005510564	T2	20050421	JP 2003-547373	20021024
US 2004157866	A1	20040812	US 2003-476386	20031030
US 2005038035	A1	20050217	US 2004-496967	20040527
PRIORITY APPLN. INFO.:			AU 2001-4722	A 20010430

L5 ANSWER 10 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

	AU 2002-9937	A	20020111
	AU 2001-9164	A	20011128
	AU 2002-443	A	20020211
	TW 2002-91106855	A	20020404
	WO 2002-JP3529	W	20020409
	WO 2002-JP11034	W	20021024

OTHER SOURCE(S): MARPAT 137:352898
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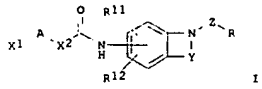

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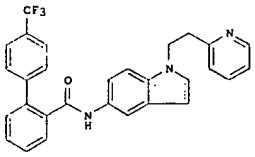


II

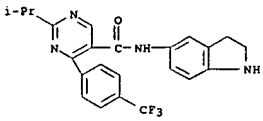
AB Title compds. I (wherein X1 = pyrrolyl or (un)substituted pyrrolyl Ph or thienyl; R11 and R12 = independently H or alkyl; R = (un)substituted unsatd. 5 to 6-membered heteromonocyclic group; A = a direct bond or NH; X2 = (un)substituted monocyclic arylene, heteromonocyclic, or cycloalkenylene; Y = CH2CH2, CH2CH2CH2, or CH=CH, wherein CH2 is optionally replaced by NH or O, and CH is optionally replaced by N; Z = (CH2)n, CO(CH2)m, CH=CH, or CONH; n = 1-3; m = 1 or 2; or a salt thereof) were prepared as apolipoprotein B (Apo B) secretion inhibitors. For example, reductive addition of 5-nitroindoline to 2-vinylpyridine in MeOCH2CH2OH and AcOH gave 5-nitro-1-[2-(2-pyridinyl)ethyl]indoline, which was treated with FeCl3 and NH2NH2•H2O in EtOH to afford 1-[2-(2-pyridinyl)ethyl]-5-indolinamine. Amidation with 4'-(trifluoromethyl)1,1'-biphenyl-2-carbonyl chloride in the presence of TEA in CH2Cl2 and separation by column chromatog. gave the N-[(pyridinylacetyl)indolinyl]carboxamide and N-

L5 ANSWER 10 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

[(pyridinylacetyl)indolyl]biphenylcarboxamide II. The indoline form of II inhibited secretion of Apo B by 92.2% at a concn. of 10 nM without affecting the secretion of Apo A1. Total cholesterol was lowered by 90% and plasma triglycerides by 13% in male ddY-mice 2 h after administration of the indoline form of II at a dose of 10 mg/kg. Thus, I are useful for the prevention and treatment of diseases or conditions resulting from elevated circulating levels of Apo B, such as hyperlipemia, hyperlipidemia, hyperlipoproteinemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, pancreatitis, non-insulin dependently diabetes mellitus, obesity, coronary heart diseases, myocardial infarction, stroke, restenosis, and Syndrome X (no data).

IT 474521-05-6P, 2-Isopropyl-N-(2,3-dihydro-1H-indol-5-yl)-4-[4-(trifluoromethyl)phenyl]-5-pyrimidinecarboxamide
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of bisarylcarboxamides as Apo B inhibitors for treatment of diabetes and related conditions)

RN 474521-05-6 HCAPLUS
CN 5-Pyrimidinecarboxamide, N-(2,3-dihydro-1H-indol-5-yl)-2-(1-methylethyl)-4-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:736253 HCAPLUS
DOCUMENT NUMBER: 137:263063
TITLE: Preparation of piperazines as 5-HT1b receptor antagonist for the treatment of depression
INVENTOR(S): Marshall, Howard; Thompson, Mervyn; Wyman, Paul Adrian
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074768 <--	A1	20020926	WO 2002-EP2634	20020311
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1368344 <--	A1	20031210	EP 2002-727393	20020311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004527516	T2	20040909	JP 2002-573777	20020311
US 2004132720	A1	20040708	US 2004-471432	20040309
PRIORITY APPLN. INFO.:			GB 2001-6586	A 20010316
			WO 2002-EP2634	W 20020311

OTHER SOURCE(S): MARPAT 137:263063
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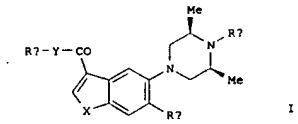
L5 ANSWER 11 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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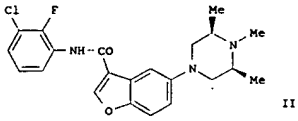


II

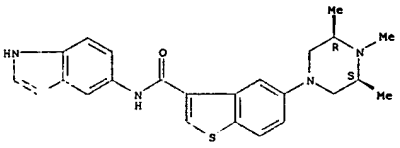
AB Title compds. I [Ra = (R1)aP1 where P1 = Ph, naphthyl, heteroaryl and R1 = halo, alkyl, cycloalkyl, etc.; Rb = H, halo, alkyl, etc.; Rc = H, alkyl; a = 0-3; X = O, S, NR5; R5 = H, alkyl] and their pharmaceutically acceptable salts were prepared For example, coupling of benzofuran I [Y = OMe; Ra = absent; Rb = H; Rc = Me], e.g., prepared from Et (4-bromophenoxy)acetate in 10-steps, and 3-chloro-2-fluoroaniline afforded piperazine II in 60% yield. In radioligand binding assays, all examples tested were found to have a pKi > 7.0 at 5-HT1b receptors with some having a pKi > 8.0. The majority of examples tested were found to have a greater than a 10-fold selectivity over 5-HT1d receptors and over other binding sites within the CNS, in particular, other 5-HT receptor subtypes and dopaminergic receptors.

IT 461663-71-8P, cis-N-(Indol-5-yl)-5-(3,4,5-trimethylpiperazin-1-yl)benzothiophene-3-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperazines as 5-HT1b receptor antagonist for the treatment of depression)

RN 461663-71-8 HCAPLUS
CN Benzo[b]thiophene-3-carboxamide, N-1H-indol-5-yl-5-[(3R,5S)-3,4,5-trimethyl-1-piperazinyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L5 ANSWER 11 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 12 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:658116 HCAPLUS
DOCUMENT NUMBER: 137:201332
TITLE: Preparation of heterocyclylalkylamine derivatives as remedies for angiogenesis mediated diseases
INVENTOR(S): Chen, Guoqing; Adams, Jeffrey; Bemis, Jean; Booker, Shon; Cai, Guolin; Croghan, Michael; DiPietro, Lucian; Dominguez, Celia; Elbaum, Daniel; Germain, Julie; Geuns-Meyer, Stephanie; Handley, Michael; Huang, Qi; Kim, Joseph L.; Kim, Tae-seong; Kiselyov, Alexander; Ouyang, Xiaohu; Patel, Vinod F.; Smith, Leon M.; Stec, Markian; Tasker, Andrew; Xi, Ning; Xu, Shimin; Yuan, Chester Chenguang
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 502 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

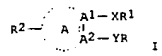
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066470	A1	20020829	WO 2002-US743	20020111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003125339	A1	20030703	US 2002-46681	20020110
US 6995162	B2	20060207		
CA 2434277	AA	20020829	CA 2002-2434277	20020111
BR 2002006435	A	20030923	BR 2002-6435	20020111
EP 1358184	A1	20031105	EP 2002-717325	20020111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300324	A	20031215	EE 2003-324	20020111
JP 2004531484	T2	20041014	JP 2002-565984	20020111
NZ 526868	A	20050429	NZ 2002-526868	20020111
CN 1671700	A	20050921	CN 2002-806202	20020111
ZA 2003005197	A	20040319	ZA 2003-5197	20030704
NO 2003003181	A	20030911	NO 2003-3181	20030711
BG 108012	A	20041130	BG 2003-108012	20030721

L5 ANSWER 12 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2006040956	A1	20060223	US 2005-234713	20050923
AU 2006200437	A1	20060223	AU 2006-200437	20060201

PRIORITY APPLN. INFO.:
US 2001-261339P P 20010112
US 2001-323764P P 20010919
US 2002-46681 A 20020110
AU 2002-248340 A3 20020111
WO 2002-US743 W 20020111

OTHER SOURCE(S): MARPAT 137:201332
GI



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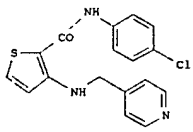
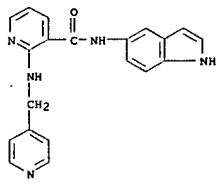


II

AB Title compds. [I; A1, A2 independently = C, N; A = 5-, or 6-membered partially saturated heterocyclyl, 5-, or 6-membered heterocyclyl, 9-, or 10-membered fused partially saturated heterocyclyl, 9-, 10-, or 11-membered fused heteroaryl, naphthyl, 4-, 5-, or 6-membered cycloalkenyl; X = C:ZNR3, C:ZN(R3)R4; Z = O, S; Y = N:CH, NR5(CR6R7), R8N(R5)(CR6R7), NR5(CR6R7)R8; R = 5-, or 6-membered (un)substituted heterocyclyl, 9-, 10-, 11-membered heterocyclyl; R1 = 6-10-membered (un)substituted aryl, 5-, or 6-membered (un)substituted heterocyclyl, 9-11 membered (un)substituted fused heterocyclyl, cycloalkyl, cycloalkenyl; R2 = H, halo, oxo, SH, COOH, CHO; R3 = H, alkyl, 5-, or 6-membered heterocyclyl; R4 = alkylenyl, alkenylenyl, alkynylenyl; R5 = H, alkyl, aralkyl, C6H5; R6, R7 independently = H, halo, CN, alkyl; R6R7 = cycloalkyl; R8 = alkylenyl; etc.] are prepared and are effective for prophylaxis and treatment of diseases, such as angiogenesis mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable derivs. thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like. The subject invention also relates to processes for making such compds. as well as to intermediates useful in such processes. Thus, the title compd. II was prepd. from Me 3-amino-2-thiophenecarboxylate, 4-chloroaniline, and 4-pyridine carboxaldehyde via coupling reaction.

L5 ANSWER 12 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 453562-42-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylalkylamine derivs. as remedies for angiogenesis mediated diseases)
RN 453562-42-0 HCAPLUS
CN 3-Pyridinecarboxamide, N-1H-indol-5-yl-2-[(4-pyridinylmethyl)amino]-(9CI)
(CA INDEX NAME)



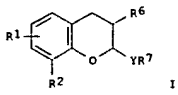
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 13 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:539473 HCAPLUS
DOCUMENT NUMBER: 137:109293
TITLE: Preparation of piperazinylchromans as 5-HT1B and 5-HT1D agonists/antagonists useful as antimigraine drugs.
INVENTOR(S): Chapdelaine, Marc; Davenport, Timothy; Haeberlein, Markus; Horchler, Carey; McCauley, John; Pierson, Edward; Sohn, Daniel
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055014	A2	20020718	WO 2002-SE70	20020115
WO 2002055014	A3	20021114		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2434015	AA	20020718	CA 2002-2434015	20020115
EP 1353915	A2	20031022	EP 2002-715919	20020115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002006514	A	20040106	BR 2002-6514	20020115
JP 2004517130	T2	20040610	JP 2002-555751	20020115
CN 1524077	A	20040825	CN 2002-806562	20020115
NZ 526699	A	20050324	NZ 2002-526699	20020115
CN 1740168	A	20060301	CN 2005-10109732	20020115
ZA 2003005318	A	20041011	ZA 2003-5318	20030709
NO 2003003205	A	20030902	NO 2003-3205	20030715
US 2004110745	A1	20040610	US 2003-466565	20030716
PRIORITY APPLN. INFO.:			US 2001-262108P	P 20010116
			SE 2001-3646	A 20011101
			CN 2002-806562	A3 20020115
			WO 2002-SE70	W 20020115

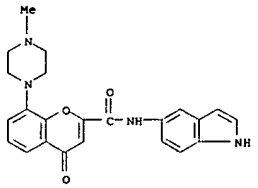
OTHER SOURCE(S): MARPAT 137:109293
GI

L5 ANSWER 13 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB Title compds. [I; R1 = H, thiomethoxy, NHA, NA2, NHCOA, halo, OH, OA, cyano, aryl, (substituted) alkyl, cycloalkyl, etc.; A = (substituted) alkyl, cycloalkyl, alkenyl, alkynyl; R2 = (substituted) piperazinyl, homopiperazinyl, aminoalkylamino, aminoheterocyclyl, heterocyclylamino; R6 = H, Me; Y = CONH, CONA, CSNH, CH2CO, CH2NA, piperazinylcarbonyl, 5-membered heterocyclylene, etc.; R7 = (substituted) mono- or bicyclic aryl, heterocyclyl], were prepared Thus, 8-(4-methyl-1-piperazinyl)chroman-2-carboxylic acid hydrochloride (preparation given) in DMF was treated sequentially with 1-hydroxybenzotriazole, O-(1H-benzotriazol-1-yl)-N,N,N',N'-pentamethyleneuronium tetrafluoroborate, Et3N, and 4-(4-morpholinyl)aniline (preparation given) followed by stirring overnight to give 8-(4-methyl-1-piperazinyl)chroman-2-carboxylic acid (4-morpholin-4-ylphenyl)amide. Several I showed 5-HT1B antagonist activity in the range 0.006-5.5 mg/kg in a screen for reversal of hypothermia in guinea pigs.
IT 442548-43-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylchromans as 5-HT1B and 5-HT1D agonists/antagonists useful as antimigraine drugs)
RN 442548-43-8 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, N-1H-indol-5-yl-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

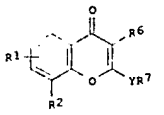


L5 ANSWER 14 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:539472 HCAPLUS
DOCUMENT NUMBER: 137:93772
TITLE: Preparation of piperazinylchromenones as 5-HT1B 5-HT1D agonists/antagonists useful as drugs.
INVENTOR(S): Chapdelaine, Marc; Davenport, Timothy; Haeberlein, Markus; Horchler, Carey; McCauley, John; Pierson, Edward; Sohn, Daniel
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055013	A2	20020718	WO 2002-SE69	20020115
WO 2002055013	A3	20021114		
WO 2002055013	C1	20040513		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433950	AA	20020718	CA 2002-2433950	20020115
EP 1353914	A2	20031022	EP 2002-729623	20020115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002006513	A	20040106	BR 2002-6513	20020115
JP 2004517129	T2	20040610	JP 2002-555750	20020115
CN 1592745	A	20050309	CN 2002-806537	20020115
ZA 2003005314	A	20041011	ZA 2003-5314	20030709
NO 2003003204	A	20030902	NO 2003-3204	20030715
US 2004087575	A1	20040506	US 2003-466449	20030716
US 7026314	B2	20060411		
US 2006019947	A1	20060126	US 2005-229170	20050916
PRIORITY APPLN. INFO.:			US 2001-262109P	P 20010116
			SE 2001-3647	A 20011101
			WO 2002-SE69	W 20020115
			US 2003-466449	A1 20030716

OTHER SOURCE(S): MARPAT 137:93772
GI

L5 ANSWER 14 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



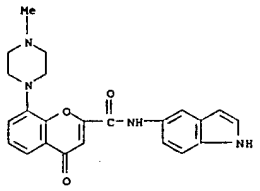
I

AB Title compds. [I; R1 = H, thiomethoxy, NHA, NA2, NHCOA, halo, OH, OA, cyano, aryl, (substituted) alkyl, cycloalkyl, etc.; A = (substituted) alkyl, cycloalkyl, alkenyl, alkynyl; R2 = (substituted) piperazinyl, homopiperazinyl, aminoalkylamino, aminoheterocyclyl, heterocyclylamino; R6 = H, Me; Y = CONH, CONA, CSNH, CH2CO, CH2NA, piperazinylcarbonyl, 5-membered heterocyclylene, etc.; R7 = (substituted) mono- or bicyclic aryl, heterocyclyl], were prepared Thus, 8-(4-methyl-1-piperazin-1-yl)-4-oxo-4H-chromene-2-carboxylic acid hydrochloride (preparation given) in DMF/Et3N was treated sequentially with 1-hydroxybenzotriazole, O-(1H-benzotriazol-1-yl)-N,N,N',N'-pentamethyleneuronium tetrafluoroborate, 4-dimethylaminopyridine, and 4-(4-morpholinyl)aniline (preparation given) to give 8-(4-methyl-1-piperazinyl)-N-[4-(4-morpholinyl)phenyl]-4-oxo-4H-chromene-2-carboxamide. Several I showed 5-HT1B antagonist activity in the range 0.006-5.5 mg/kg in a screen for reversal of hypothermia in guinea pigs.

IT 442548-43-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylchromenones as 5-HT1B 5-HT1D agonists/antagonists useful as drugs)

RN 442548-43-8 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, N-1H-indol-5-yl-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

L5 ANSWER 14 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 15 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:539471 HCAPLUS
DOCUMENT NUMBER: 137:109205
TITLE: Preparation of 4-oxo-4H-chromene-2-carboxamides and related compounds as antagonists or agonists of serotonin 5HT1B and 5HT1D receptors
INVENTOR(S): Chapdelaine, Marc; Davenport, Timothy; Haeberlein, Markus; Horchler, Carey; McCauley, John; Pierson, Edward; Sohn, Daniel
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

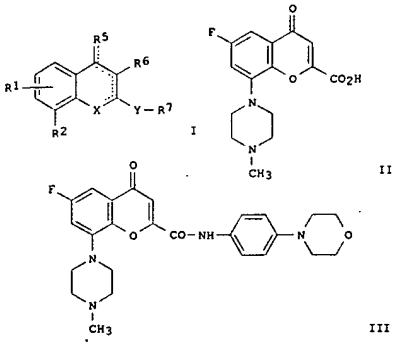
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055012	A2	20020718	WO 2002-SE68	20020115
WO 2002055012	A3	20021114		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2434152	AA	20020718	CA 2002-2434152	20020115
EP 1353913	A2	20031022	EP 2002-729622	20020115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002006512	A	20040106	BR 2002-6512	20020115
JP 2004517128	T2	20040610	JP 2002-555749	20020115
CN 1527827	A	20040908	CN 2002-806392	20020115
NZ 526697	A	20050527	NZ 2002-526697	20020115
NZ 535574	A	20060728	NZ 2002-535574	20020115
CN 1821236	A	20060823	CN 2006-10051523	20020115
US 2003013708	A1	20030116	US 2002-51776	20020116
US 6812225	B2	20041102		
ZA 2003005344	A	20041011	ZA 2003-5344	20030710
NO 2003003203	A	20030902	NO 2003-3203	20030715
US 2004082591	A1	20040429	US 2003-466540	20030716
US 2005009818	A1	20050113	US 2004-889350	20040712
US 7045514	B2	20060516		
US 2005182050	A1	20050818	US 2005-108587	20050418

PRIORITY APPLN. INFO.:
US 2001-262107P P 20010116
SE 2001-3650 A 20011101
CN 2002-806392 A3 20020115
WO 2002-SE68 W 20020115

L5 ANSWER 15 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 2002-51776 A1 20020116
US 2004-889350 A1 20040712

OTHER SOURCE(S): MARPAT 137:109205
GI

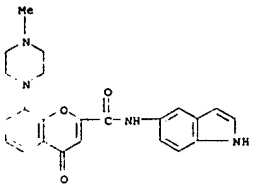


I II III

AB Title compds. I and their pharmaceutically acceptable salts [R1 = H, alkyl, cycloalkyl, thiomethoxy, etc.; R2 = NR3R3; R3 independently = H, (un)substituted alkylamine e.g., alkyl, alkenyl, alkynyl amino-heterocycle, etc; R3-R3 = (un)substituted cycloalkylamine or amino-heterocycle e.g., alkyl, alkenyl, alkynyl, etc; R5 = H, O, S, etc.; R6 = H, Me; R7 = (un)substituted mono-. or bicylo- aromatic, (un)substituted heterocycle; X = O, N, NH, S; Y = CONH, NHCO, CSNH, etc.] were prepd with the proviso that multiple bonds are separated from each other by at least one single bond. For example, condensation of 4-oxo-4H-chromene-2-carboxylic acid II e.g., prepared from diethylacetylenedicarboxylate and 2-bromo-4-fluorophenol in 5 steps, and 4-morpholin-4-yl-phenylamine provided preferred 4-oxo-4H-chromene-2-carboxamide III. The utility of the compds. of the present invention were tested using a guinea pig hypothermia test, ED50 values for compds. I range from 0.006-5.5 mg/kg. Compds. I are disclosed to be antagonists or agonists of serotonin 5HT1B and 5HT1D receptors (no data provided). Also I are claimed for use in the treatment of gastrointestinal disorders, cardiovascular regulation, motor disorders, etc..

IT 442548-43-8P

L5 ANSWER 15 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of 4-oxo-4H-chromene-2-carboxamides and related
compds. as antagonists or agonists of serotonin 5HT1B and 5HT1D receptors)
RN 442548-43-8 HCAPLUS
CN 4H-1-Benzopyran-2-carboxamide, N-1H-indol-5-yl-8-(4-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)

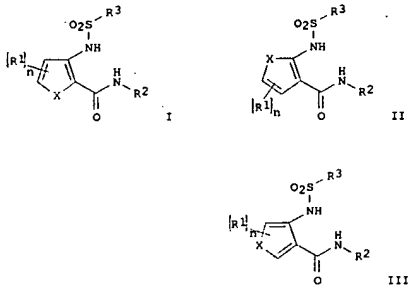


L5 ANSWER 16 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:275753 HCAPLUS
DOCUMENT NUMBER: 136:309843
TITLE: Preparation of thiophenes as phosphate transport inhibitors
INVENTOR(S): Weinstock, Joseph; Franz, Robert G.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

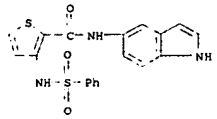
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028353	A2	20020411	WO 2001-US31318	20011005
WO 2002028353	A3	20020711		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002013048	A5	20020415	AU 2002-13048	20011005
PRIORITY APPLN. INFO.:			US 2000-238068P	P 20001005
			WO 2001-US31318	W 20011005

OTHER SOURCE(S): MARPAT 136:309843
GI

L5 ANSWER 16 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds. [I-III; X = S, O; R1 = H, alkyl, aryl, etc.; R2, R3 = alkyl, haloalkyl, alky; interrupted by one or more O or S atoms, etc.; n = 0-3], useful for treatment of chronic renal failure and uremic bone disease, were prepared E.g., a 4-step synthesis of I [X = S; R1 = H; R2 = 4-FC6H4; R3 = Ph], starting with Me 3-aminothiophene-2-carboxylate, was presented. Biol. data were given.
IT 409363-29-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiophenes as phosphate transport inhibitors)
RN 409363-29-7 HCAPLUS
CN 2-Thiophenecarboxamide, N-1H-indol-5-yl-3-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

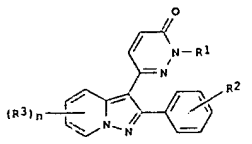


L5 ANSWER 17 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:171898 HCAPLUS
DOCUMENT NUMBER: 136:232298
TITLE: Pyrazolopyridine compounds and pharmaceutical use thereof as adenosine receptor antagonists
INVENTOR(S): Akahane, Atsushi; Tanaka, Akira; Minagawa, Masatoshi; Itani, Hiromichi; Ohtake, Hiroaki
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018382	A1	20020307	WO 2001-JP7322	20010827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001080188	A5	20020313	AU 2001-80188	20010827
EP 1313733	A1	20030528	EP 2001-958521	20010827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004507542	T2	20040311	JP 2002-523897	20010827
US 2004110763	A1	20040610	US 2003-344894	20030226
PRIORITY APPLN. INFO.:			AU 2000-9698	A 20000828
			WO 2001-JP7322	W 20010827

OTHER SOURCE(S): MARPAT 136:232298
GI

L5 ANSWER 17 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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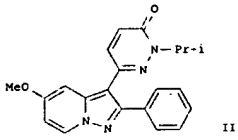


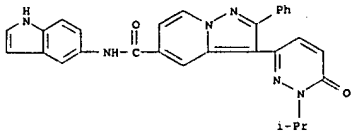
II

AB Pyrazolopyridines I are disclosed [wherein: R1 = H, (un)substituted lower alkyl or cycloalkyl which may be interrupted by an O or N; R2 = H, halo, or lower alkoxy; R3 = independent substituent(s); and n = 1 to 4; or a salt thereof]. The compds. are adenosine antagonists, and are thus useful for the prevention and/or treatment of a wide variety of medical conditions, e.g., depression, dementia (e.g., Alzheimer's disease, cerebrovascular dementia, dementia accompanying Parkinson's disease, etc.) Parkinson's disease, anxiety, pain, cerebrovascular disease (e.g. stroke, etc.), heart failure, and the like. In particular, treatment of Parkinson's disease and/or associated symptoms is specifically claimed. Over 330 example compds. are described. For instance, cyclization of 1-amino-4-methoxypyridinium iodide with 3-(benzenesulfonyl)-6-(phenylethynyl)pyridazine, gave 3-(3-phenylsulfonylpyridazin-6-yl)-5-methoxy-2-phenylpyrazolo[1,5-a]pyridine. This compound was hydrolyzed at the phenylsulfinyl group, and the resultant pyridazinone was N-alkylated with NaH/DMF and iso-PrI to give title compound II. In radioligand binding assays, II had Ki values of 0.15 nM for human A1 receptors and 1.38 nM for human A2A receptors. In an anticatalepsy test in mice, 6 tested example compds. I at 3.2 mg/kg orally completely suppressed the cataleptic effects of haloperidol at 0.32 mg/kg i.p.

IT 403495-07-8P, N-(1H-Indol-5-yl)-3-(3-oxo-2-isopropyl-2,3-dihydropyridazin-6-yl)-2-phenylpyrazolo[1,5-a]pyridine-5-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of pyrazolopyridines as adenosine receptor antagonists)

RN 403495-07-8 HCAPLUS

CN Pyrazolo[1,5-a]pyridine-5-carboxamide, 3-[1,6-dihydro-1-(1-methylethyl)-6-oxo-3-pyridazinyl]-N-1H-indol-5-yl-2-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:10468 HCAPLUS
DOCUMENT NUMBER: 136:85826
TITLE: Preparation of substituted quinazoline derivatives and their use as inhibitors of AURORA-2 kinase
INVENTOR(S): Mortlock, Andrew; Jung, Frederic
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 249 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000649 <--	A1	20020103	WO 2001-SE1450	20010621
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412592 <--	AA	20020103	CA 2001-2412592	20010621
EP 1299381 <--	A1	20030409	EP 2001-944061	20010621
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011754 <--	A	20030429	BR 2001-11754	20010621
JP 2004501914	T2	20040122	JP 2002-505773	20010621
CN 1496364	A	20040512	CN 2001-814620	20010621
EE 200200715	A	20040816	EE 2002-715	20010621
NZ 522696	A	20040827	NZ 2001-522696	20010621
RU 2283311	C2	20060910	RU 2003-102389	20010621
ZA 2002009412	A	20040219	ZA 2002-9412	20021119
BG 107376 <--	A	20030930	BG 2002-107376	20021211
NO 2002006010 <--	A	20021213	NO 2002-6010	20021213
US 2003187002 <--	A1	20031002	US 2002-311916	20021216
US 6919338	B2	20050719		
US 2006046987	A1	20060302	US 2005-70057	20050302

PRIORITY APPLN. INFO.: EP 2000-401842 A 20000628
WO 2001-SE1450 W 20010621
US 2002-311916 A1 20021216

OTHER SOURCE(S): MARPAT 136:85826
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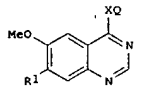
L5 ANSWER 18 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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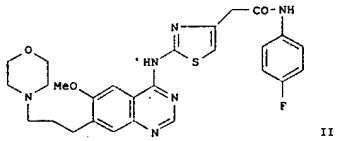


II

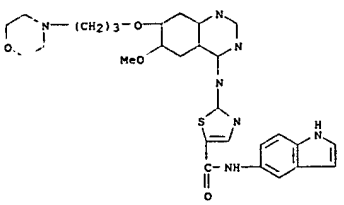
AB The title compds. [I; X = O, S, S:O, SO2, NR; R = H, C1-6alkyl; R1 = OCH3, 3-(4-morpholinyl)propoxy, N-methylpiperidine-4-ylmethoxy, 3-(N-methylpiperazine-4-yl)propoxy, 3-(pyrrolidine-1-yl)propoxy, (CH3)2N(CH2)3O, etc.; Q = (un)substituted 5-membered heteroarom.], pharmaceutically acceptable salts, in vivo hydrolysable esters, and amides are prepared as AURORA-2 kinase inhibitors in warm blooded animals. The title compds. together with pharmaceutical compns. containing them are also described and claimed. Thus, the title compound II was prepared and tested in vitro for the ability to arrest MCF7 cells in specific phases of the cell cycle.

IT 385780-79-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivs. and use as inhibitors of AURORA-2 kinase)

RN 385780-79-0 HCAPLUS

CN 5-Thiazolecarboxamide, N-1H-indol-5-yl-2-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

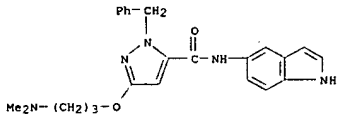
L5 ANSWER 18 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 19 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

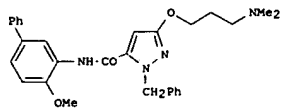


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 19 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:279053 HCAPLUS
DOCUMENT NUMBER: 135:92575
TITLE: Solution-phase parallel synthesis of 5-carboxamido 1-benzyl-3-(3-dimethylaminopropyloxy)-1H-pyrazoles as activators of soluble guanylate cyclase with improved oral bioavailability
AUTHOR(S): Selwood, D. L.; Brummell, D. G.; Glen, R. C.; Goggin, M. C.; Reynolds, K.; Tatlock, M. A.; Wishart, G.
CORPORATE SOURCE: Biological & Medicinal Chemistry, The Wolfson Institute For Biomedical Research, University College London, London, WC1E 6BT, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(8), 1089-1092
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:92575
GI



I

AB A lipophilicity constrained library of 5-carboxamido 1-benzyl-3-(3-dimethylaminopropyloxy)-1H-pyrazoles was prepared by solution-phase parallel synthesis with removal of acidic byproducts using the strongly basic MP-carbonate resin. Compds. show both activation of soluble guanylate cyclase and inhibition of platelet aggregation. Compound I also shows 22% oral bioavailability in rats.

IT 268726-00-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(solution-phase parallel synthesis of 5-carboxamido 1-benzyl-3-(3-dimethylaminopropyloxy)-1H-pyrazoles as activators of soluble guanylate cyclase and inhibitors of platelet aggregation)

RN 268726-00-7 HCAPLUS
CN 1H-Pyrazole-5-carboxamide, 3-[3-(dimethylamino)propoxy]-N-1H-indol-5-yl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 20 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

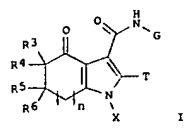
ACCESSION NUMBER: 2001:241783 HCAPLUS
DOCUMENT NUMBER: 134:266200
TITLE: Preparation of fused pyrrolecarboxamides as a new class of GABA brain receptor ligands
INVENTOR(S): Albaugh, Pamela; Liu, Gang; Shaw, Kenneth; Hutchison, Alan
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: U.S., 26 pp., Cont.-in-part of U.S. 6,080,873.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6211365	B1	20010403	US 1999-387313	19990831
US 5804686	A	19980908	US 1996-588711	19960119
US 6080873	A	20000627	US 1998-148247	19980904
US 2001029299	A1	20011011	US 2001-801956	20010308
US 6515140	B2	20030204		
US 2003153754	A1	20030814	US 2002-303096	20021122

PRIORITY APPLN. INFO.:

US 1996-588711	A1	19960119
US 1998-148247	A2	19980904
US 1999-387313	A1	19990831
US 2001-801956	A1	20010308

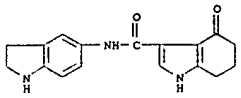
OTHER SOURCE(S): MARPAT 134:266200
GI



I

AB The title compds. [I; G = substituted Ph, etc.; T = halo, H, OH, etc.; X = H, OH, alkyl; R3-R6 = H, alkyl, CO(alkyl), etc.; n = 0-3] which compds. are highly selective agonists, antagonists or inverse agonists for GABAa brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABAa brain receptors, and therefore are useful in the diagnosis and treatment of anxiety, sleep and seizure disorders, overdose with benzodiazepine drugs and for enhancement of memory, were prepared E.g., a

L5 ANSWER 20 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
multi-step synthesis of I [G = 3-(MeNHCH2)C6H4; T, X = H; R3-R6 = H; n = 1] which showed Ki of 90 nM against GABAA receptor binding, was given.
IT 194098-46-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyrrolecarboxamides as a new class of GABA brain receptor ligands)
RN 194098-46-9 HCAPLUS
CN 1H-Indole-3-carboxamide,
N-(2,3-dihydro-1H-indol-5-yl)-4,5,6,7-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



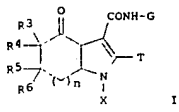
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:167966 HCAPLUS
DOCUMENT NUMBER: 134:207712
TITLE: Preparation of fused pyrrolecarboxamides as GABA brain
receptor ligands
INVENTOR(S): Albaugh, Pamela; Shaw, Kenneth; Hutchison, Alan
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016103	A1	20010308	WO 2000-US23862	20000830
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2381553	AA	20010308	CA 2000-2381553	20000830
BR 2000013664	A	20020514	BR 2000-13664	20000830
EP 1210328	A1	20020605	EP 2000-959643	20000830
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200200544	T2	20020621	TR 2002-544	20000830
JP 2003508385	T2	20030304	JP 2001-519673	20000830
EE 200200111	A	20030616	EE 2002-111	20000830
NO 2002000948	A	20020228	NO 2002-948	20020227
BG 106459	A	20021229	BG 2002-106459	20020228
PRIORITY APPLN. INFO.:			US 1999-151789P	P 19990831
			US 1999-387311	A 19990831
			WO 2000-US23862	W 20000830

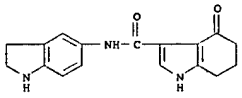
OTHER SOURCE(S): MARPAT 134:207712
GI

L5 ANSWER 21 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB Substituted pyrrolecarboxamide compds. [I; T = halogen, hydrogen, hydroxy,
amino, alkyl or alkoxy; X = hydrogen, hydroxy, amino, benzyl, tert-butoxycarbonyl, benzyloxycarbonyl, alkyl, or alkoxy; G = -Q-(CH2)k-W-(CH2)m-Z; where Q = an optionally substituted aryl or optionally substituted heteroaryl group having from 1 to 3 rings, 3 to 8 members in each ring and from 1 to 3 heteroatoms; W = hydrogen, O, NH, NR7, S(O)00-2,CO, OC(O), C(O)O, C(O)NH, NHC(O), NR7C(O), NHS(O)0-2, NR7S(O)0-2, S(O)0-2NH, S(O)0-2NR7, and CR7R8; where R7, R8 = hydrogen or alkyl, or CR7R8 = a cyclic moiety having 3-7 carbon atoms; Z = hydrogen, hydroxy, cycloalkyl(alkoxy), amino, mono- or di(alkyl)amino, azacycloalkyl, O(alkyl), S(O)0-2(alkyl), C(O)(alkyl), OC(O)(alkyl), OC(O)H, C(O)O(alkyl), C(O)OH, C(O)NH(alkyl), etc.; R3, R4, R5, R6 = hydrogen, alkyl, COR11 or CO2R11 (where R11 = alkyl or cycloalkyl having 3-7 carbon atoms), CONR12R13 (where R12, R13 = hydrogen, alkyl, cycloalkyl
having 3-7 carbon atoms, Ph, 2-, 3-, or 4-pyridyl, or NR12R13 forms a heterocyclic group), etc.; or R3 and R4 together with the carbon atom to which they are attached form a cyclic moiety having 3-7 carbon atoms] are disclosed. These compds. are highly selective agonists, antagonists or inverse agonists for GABAA brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABAA brain receptors and are therefore useful in the diagnosis and treatment of anxiety, depression, Alzheimer's dementia, sleep and seizure disorders, overdose with benzodiazepine drugs and for enhancement of memory. Pharmaceutical compns., including packaged pharmaceutical compns., are further provided. Compds. of the invention are also useful as probes for the localization of
GABAA receptors in tissue samples. Thus, To a stirred solution of 4-oxo-4,5,6,7-tetrahydro-1H-indole-3-carboxylic acid (100 mg, 0.6 mmol) and Et3N (0.15 mL, 1.1 mmol) in DMF (5 mL) at 0° is added Et chloroformate (0.1 mL, 1.1 mmol), stirred for 1 h, treated with 3-[N-trifluoroacetyl(methylaminomethyl)]aniline (0.3 g, 1.3 mmol), and the
reaction mixture was stirred for 4 h to give, after workup, N-[3-(methylaminomethyl)phenyl]-4-oxo-4,5,6,7-tetrahydro-1H-indole-3-carboxamide (II). II and N-[4-(2-methylaminoethyl)phenyl]-4-oxo-4,5,6,7-tetrahydro-1H-indole-3-carboxamide showed binding affinity for GABAA receptor with Ki of 90 and 0.24, resp., in a binding assay described by Thomas and Tallman (J. Bio. Chemical 1981 and J. Neurosci. 1983).
IT 194098-46-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyrrolecarboxamides as GABA brain receptor ligands for
treatment of central nervous system diseases)
RN 194098-46-9 HCAPLUS
CN 1H-Indole-3-carboxamide,
N-(2,3-dihydro-1H-indol-5-yl)-4,5,6,7-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:12267 HCAPLUS
DOCUMENT NUMBER: 134:71602
TITLE: Preparation and effect of benzimidazolylpyrimidine derivatives as SRC kinase inhibitors
INVENTOR(S): Goulet, Joung L.; Holmes, Mark A.; Hunt, Julianne A.; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Zaller, Dennis M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

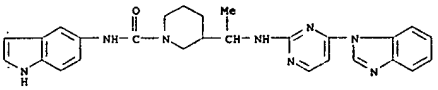
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000207	A1	20010104	WO 2000-US17510	20000626
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2376957	AA	20010104	CA 2000-2376957	20000626
US 6329380	B1	20011211	US 2000-603688	20000626
EP 1206260	A1	20020522	EP 2000-953637	20000626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003503351	T2	20030128	JP 2001-505916	20000626
PRIORITY APPLN. INFO.:			US 1999-141630P	P 19990630
			WO 2000-US17510	W 20000626

OTHER SOURCE(S): MARPAT 134:71602
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title Pyrimidine compds. [I; R1, R2 independently = H, Br, Cl, I, F, OH, SH, CN, NO2, NH2; R1R2 : fused methylenedioxy ring, fused 6-membered aromatic ring; R3, R5 independently = H, alkyl, aryl; R3R5 = O; R4 = H, alkyl,

L5 ANSWER 22 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
alkoxyl; X1, X2, X3, X4 independently = CH, CBr, COH, CSH, CNO2, N; R7 = H, NH2, alkyl, aryl, alkylamino, arylamino; Y = O, N, CH; Z = CO, SO2, bond; m, n independently = 0, 1, 2, 3, 4], or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same, which are inhibitors of tyrosine kinase enzymes, and as such are useful in the prophylaxis and treatment of protein tyrosine kinase-assocd. disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. Thus, the title compd. II was prepd. and tested.
IT 315717-62-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and effect of benzimidazolylpyrimidine derivs. as SRC kinase inhibitors)
RN 315717-62-5 HCAPLUS
CN 1-Piperidinecarboxamide, 3-[1-[[4-(1H-benzimidazol-1-yl)-2-pyrimidinyl]amino]ethyl]-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



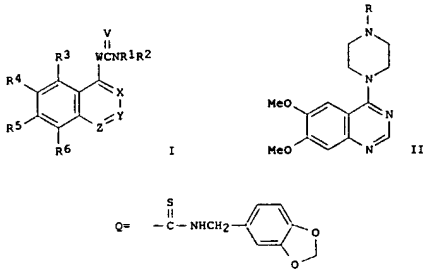
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:10086 HCAPLUS
DOCUMENT NUMBER: 134:86277
TITLE: 1,3-Diazines with platelet-derived growth factor receptor inhibitory activity
INVENTOR(S): Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: U.S., 127 pp., Cont.-in-part of PCT 9814431.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6169088	B1	20010102	US 1998-88199	19980601
WO 9814431	A1	19980409	WO 1997-JP3510	19971001
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6207667	B1	20010327	US 2000-481544	20000112
US 2002068734	A1	20020606	US 2000-734918	20001213
US 6472391	B2	20021029		
PRIORITY APPLN. INFO.:			JP 1996-260743	A 19960110
			WO 1997-JP3510	A2 19971001
			US 1998-88199	A3 19980601
			US 2000-481544	A3 20000112

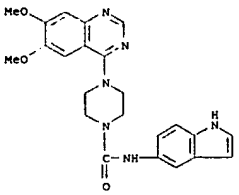
OTHER SOURCE(S): MARPAT 134:86277
GI

L5 ANSWER 23 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



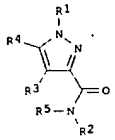
AB 1,3-Diazines and related N heterocycles [I; wherein V = O or S; W = 1,4-piperazinediyl or 1,4-homopiperazinediyl which may be substituted with unsubstituted alkyl on the ring; X = N or CR9; Y = N or CR8; Z = N or CR7, with at least one of X, Y and Z being N; R1 = H, (un)substituted alkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = substituted alkyl, (un)substituted cycloalkyl, aryl, heterocyclyl, etc.; R3, R4, R5, R6 = H, halo, (un)substituted alkyl, NO2, cyano, (un)substituted OH or NH2, etc.; R7, R8 = R1 groups, halo, etc.; R9 = H, CO2H or derivs.] and their pharmacol. acceptable salts are prepared These compds. inhibit the phosphorylation of PDGF receptors and the abnormal proliferation or migration of cells, and so are effective in preventing or treating cell proliferative diseases such as arteriosclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6,7-dimethoxy-4-(1-piperazinyl)quinazoline reacted with Ph isocyanate in refluxing EtOH to give invention compound II [R = CONHPh] in 44% isolated yield. The analog II [R = Q] showed an IC50 of 0.03 μM for inhibiting the phosphorylation of PDGF receptor in vitro. Pharmaceutical formulations, e.g. tablets containing II [R = N-(p-nitrophenyl)carbamoyl], were prepared
IT 205255-39-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diazines with platelet-derived growth factor receptor inhibitory activity)
RN 205255-39-6 HCAPLUS
CN 1-Piperazinecarboxamide, 4-(6,7-dimethoxy-4-quinazolinyl)-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)

L5 ANSWER 23 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 24 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

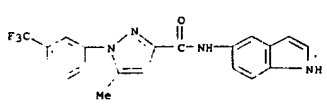


AB The title compds. [I; R1 = alkyl, aryl, aralkyl, etc.; R2 = dialkylaminoalkyl, (un)substituted (heteroaryl)alkyl, (un)substituted (heterocycloalkyl)alkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = halo, alkyl, aralkyl, etc.; R5 = H, alkyl] which are ligands for the neuropeptide Y, subtype 5 receptor, and therefore useful in the treatment of disorders and diseases associated with the NPY receptor subtype Y5, were prepared and formulated. E.g., a 3-step synthesis of the pyrazole I [R1 = 3-F3CC6H4; R2 = 5-isoquinolinyl; R3, R5 = H; R4 = Me] which showed IC50 of 80 nM against human NPY Y5 binding, was given.

IT 308337-93-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazole carboxamides for the treatment of obesity and other disorders)

RN 308337-93-1 HCAPLUS

CN 1H-Pyrazole-3-carboxamide, N-1H-indol-5-yl-5-methyl-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L5 ANSWER 24 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:824248 HCAPLUS
DOCUMENT NUMBER: 134:4933
TITLE: Preparation of pyrazole carboxamides for the treatment of obesity and other disorders
INVENTOR(S): Kordik, Cheryl P.; Lovenberg, Timothy W.; Reitz, Allen B.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069849	A1	20001123	WO 2000-US11903	20000502
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2373510	AA	20001123	CA 2000-2373510	20000502
US 6291476	B1	20010918	US 2000-563190	20000502
EP 1177188	A1	20020206	EP 2000-928712	20000502
EP 1177188	B1	20051012		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 778393	B2	20041202	AU 2000-46906	20000502
AT 306481	E	20051015	AT 2000-928712	20000502
US 2002058816	A1	20020516	US 2001-898420	20010703
US 6511998	B2	20030128		

PRIORITY APPLN. INFO.: US 1999-133842P P 19990512
US 2000-563190 A1 20000502
WO 2000-US11903 W 20000502

OTHER SOURCE(S): MARPAT 134:4933
GI

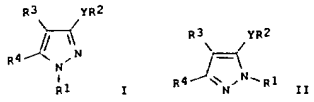
L5 ANSWER 25 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:335243 HCAPLUS
DOCUMENT NUMBER: 132:347565
TITLE: Preparation of pyrazoles and indazoles as activators of soluble guanylate cyclase
INVENTOR(S): Selwood, David; Glen, Robert; Liu, Qian; Kling, Marcel; Madge, David; Reynolds, Karen; Wishart, Grant; Powell, Ken
PATENT ASSIGNEE(S): University College London, UK
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000027394	A1	20000518	WO 1999-GB3663	19991105
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9964816	A1	20000529	AU 1999-64816	19991105

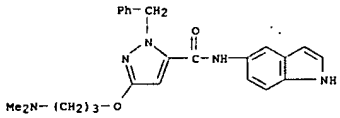
PRIORITY APPLN. INFO.: GB 1998-24310 A 19981105
WO 1999-GB3663 W 19991105

OTHER SOURCE(S): MARPAT 132:347565
GI



AB The title compds. [I or II; Y = O, CH2, NH; R1 = H, aryl, heteroaryl, etc.; when Y = O then R2 = XNMe2, XNHMe (wherein X = alkylene), 2-hydroxymethylfuran-5-ylmethyl, WB (W = alkylene; B = N-containing heterocyclyl); when Y = CH2 then R2 = XNMe2, XNHMe (X is as defined above); when Y = NH then R2 = XNMe2, XNHMe (X = propylene); R3, R4 = CO2A (A = H, alkyl, aryl, etc.), CF3, halo, etc.; R3 and R4 together form the (un)substituted divalent group, (CH2)4], activators of soluble guanylate cyclase which are vasodilators and/or inhibit platelet aggregation and are therefore useful in the treatment of peripheral vascular diseases such as

L5 ANSWER 25 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
hypertension, angina pectoris or atherosclerosis, or in the treatment of prevention of glaucoma, preeclampsia, Raynaud's syndrome, stroke or erectile disfunctions, were prepd. E.g., a 2-step synthesis of II [Y = CH2; R1 = H; R2 = Ph; R3 = H; R4 = O(CH2)3NMe2] which showed IC50 of 35 μM against platelet aggregation, was given.
IT 268726-00-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazoles and indazoles as activators of soluble guanylate
cyclase)
RN 268726-00-7 HCAPLUS
CN 1H-Pyrazole-5-carboxamide, 3-[3-(dimethylamino)propoxy]-N-1H-indol-5-yl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 26 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2000:241135 HCAPLUS
DOCUMENT NUMBER:	132:279106
TITLE:	Non-peptide GnRH agents, methods and intermediates for their preparation
INVENTOR(S):	Anderson, Mark Brian; Vazir, Haresh N.; Luthin, David Robert; Paderes, Genevieve Deguzman; Pathak, Ved P.; Christie, Lance Christopher; Hong, Yufeng; Tompkins, Eileen Valenzuela; Li, Haitao; Faust, James
PATENT ASSIGNEE(S):	Agouron Pharmaceuticals, Inc., USA; et al.
SOURCE:	PCT Int. Appl., 444 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020358	A2	20000413	WO 1999-US18790	19990820
WO 2000020358	A3	20001116		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341346	AA	20000413	CA 1999-2341346	19990820
BR 9913374	A	20010515	BR 1999-13374	19990820
EP 1105120	A2	20010613	EP 1999-968010	19990820
EP 1105120	B1	20050323		
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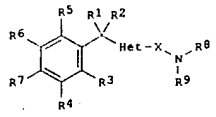
EE 200100102	A	20020617	EE 2001-102	19990820
SI 20746	C	20020630	SI 1999-20076	19990820
TR 200100631	T2	20020821	TR 2001-200100631	19990820
JP 2002535244	T2	20021022	JP 2000-574479	19990820
AU 759310	B2	20030410	AU 2000-24709	19990820
NZ 509252	A	20040528	NZ 1999-509252	19990820
AT 291423	E	20050415	AT 1999-968010	19990820
ES 2237966	T3	20050801	ES 1999-968010	19990820
NO 2001000309	A	20010411	NO 2001-309	20010119
ZA 2001000831	A	20020822	ZA 2001-831	20010130

L5 ANSWER 26 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

US 7101878	B1	20060905	US 2001-763216	20010220
LV 12732	B	20020320	LV 2001-45	20010316
BG 105362	A	20011231	BG 2001-105362	20010319
LT 4904	B	20020425	LT 2001-24	20010319
US 2004010033	A1	20040115	US 2003-353160	20030708
PRIORITY APPLN. INFO.:			US 1998-97520P	P 19980820
			WO 1999-US18790	W 19990820
			US 2001-763216	B3 20010220

OTHER SOURCE(S): MARPAT 132:279106
GI



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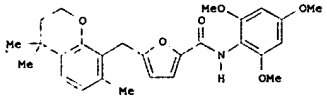
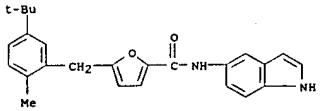


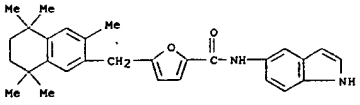
II

AB Non-peptide GnRH agents capable of inhibiting the effect of gonadotropin-releasing hormone are described. The compds. and their pharmaceutically acceptable salts, multimers, prodrugs, and active metabolites are suitable for treating mammalian reproductive disorders and
steroid hormone-dependent tumors as well as for regulating fertility, where suppression of gonadotropin release is indicated. The compds. include those of formula I [X = C:O, C:S, S:O, or SO2; Het = 5-membered NOS-heterocycle; R1, R2 = H, alkyl; R3-R7 = H, halo, (un)substituted alkyl, aryl, heteroaryl, CH2OR, OR, CO2R; R = alkyl, aryl, etc.; adjacent rings positions such as R6R7 may form (un)substituted 5- or 6-membered ring with up to 4 heteroatoms; R8 = lipophilic moiety such as alkyl, aryl,
CH2OR, OR, etc.; R9 = H, (un)substituted alkyl]. Methods and intermediates for synthesizing the compds. are also described. For instance, 4,4,7-trimethylchroman (preparation given) was alkylated in the 6-

L5 ANSWER 26 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
and 8-positions using Et 5-(chloromethyl)-2-furoate (46% total yield), and
the resulting esters were hydrolyzed to a mixt. of acids. This unsepd. mixt. was treated with SOCl2 and amidated with 2,4,6-trimethoxyphenylamine-
HCl to give the invention compd. II and its chroman-6-position isomer, which were sepd. by HPLC. Several compds. exhibited high affinity (<100 nM) at human GnRH receptors. The compds. antagonized GnRH-stimulated inositol phosphate accumulation in cells with recombinant human GnRH receptors, and an example compd. reduced plasma LH levels in castrated male rats. Various biol. data for several hundred compds. are given.
IT 263849-61-2P 263850-34-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of non-peptide GnRH agents for regulating
gonadotropin secretion)
RN 263849-61-2 HCAPLUS
CN 2-Furancarboxamide, 5-[[5-(1,1-dimethylethyl)-2-methylphenyl]methyl]-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



RN 263850-34-6 HCAPLUS
CN 2-Furancarboxamide, N-1H-indol-5-yl-5-[(5,6,7,8-tetrahydro-3,5,5,8,8-pentamethyl-2-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 27 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:161121 HCAPLUS
DOCUMENT NUMBER: 132:207763
TITLE: Preparation of benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivatives as potassium channel inhibitors
INVENTOR(S): Lloyd, John; Finlay, Heather J.; Vaccaro, Wayne; Atwal, Karnail; Gross, Michael F.; Spear, Kerry L.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012077	A1	20000309	WO 1999-US18599	19990816
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341678	AA	20000309	CA 1999-2341678	19990816
AU 9956753	A1	20000321	AU 1999-56753	19990816
AU 754204	B2	20021107		
EP 1109544	A1	20010627	EP 1999-943714	19990816
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002523451	T2	20020730	JP 2000-567195	19990816
US 6150356	A	20001121	US 1999-375955	19990817
US 6511977	B1	20030128	US 2000-670285	20000925
US 2004058931	A1	20040325	US 2002-295574	20021115
US 2004067944	A1	20040408	US 2002-295404	20021115
US 6784189	B2	20040831		
US 2004192710	A1	20040930	US 2004-823987	20040414
US 6881753	B2	20050419		
PRIORITY APPLN. INFO.:			US 1998-98709P	P 19980901
			WO 1999-US18599	W 19990816
			US 1999-375955	A3 19990817
			US 2000-670285	A3 20000925

OTHER SOURCE(S): MARPAT 132:207763
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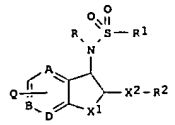

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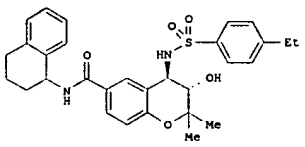


II

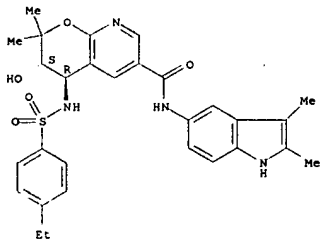
AB The title compds. (I) [wherein A, B, and D = independently CH or N; R = H, (aryl)alkyl, alkenyl, aryl, (hetero)cycloalkyl, or cycloalkylalkyl; R1 = (aryl)alkyl, acyl, alkenyl, heterocyclo, NR5-heterocyclo, (hetero)cycloalkyl, cycloalkylalkyl, or (un)substituted amino; or R and R1 taken together with the N-S atoms = a 5- to 8-membered ring; R2 = H, (aryl)alkyl, acyl, carboxymethyl, carbamoylmethyl, etc.; R3 and R4 = independently = H, (aryl)alkyl, cycloalkyl, or R3 and R4 taken together with the C to which they are attached form a 5- to 8-membered ring; R5 = H, (aryl)alkyl, alkenyl, aryl, or cycloalkyl(alkyl); X1 = (CR3R4)n, O, NR5, S, S(O), SO2, -OCR3R4-, -NR5CR3R4-, -SCR3R4-, -S(O)CR3R4-, or -SO2CR3R4-; n = 1-3; X2 = single bond, NR5, or O; Q = substituted NHCH:NCN, acyl, (un)substituted sulfamoyl, or substituted heterocyclo] were prepd by solution phase or solid phase synthesis as antiarrhythmics. For example, II was formed in a 3-step sequence involving: (1) sulfonylation of (trans)-4-amino-3,4-dihydro-2,2-dimethyl-6-cyano-2H-benzopyran with 4-ethylbenzenesulfonyl chloride (85%), (2) hydrolysis of the nitrile to the carboxylic acid using aqueous Na2O2 (33%), and (3) amidation with 1,2,3,4-tetrahydro-1-naphthylamine (51%). I block the delayed rectifier voltage-gated K+ channel (IKur) and are therefore useful in the prevention and treatment of cardiac arrhythmia (no data).

IT 260400-33-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of arylsulfamido benzopyran, tetrahydroquinoline, pyrano[2,3-b]pyridine, and indan derivs. by soln. phase or solid phase synthesis as potassium channel inhibitors for the treatment of arrhythmia)

RN 260400-33-7 HCAPLUS
CN 2H-Pyrano[2,3-b]pyridine-6-carboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-4-[[(4-ethylphenyl)sulfonyl]amino]-3,4-dihydro-3-hydroxy-2,2-dimethyl-, (3R,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

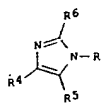


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:375544 HCAPLUS
DOCUMENT NUMBER: 131:19000
TITLE: Preparation of phenyloxazolidinones as bactericides
INVENTOR(S): Betts, Michael John; Swain, Michael Lingard
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9928317	A1	19990610	WO 1998-GB3496	19981124
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1034175	A1	20000913	EP 1998-955759	19981124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001525320	T2	20011211	JP 2000-523209	19981124
US 6495551	B1	20021217	US 2000-555203	20000525
PRIORITY APPLN. INFO.:			GB 1997-25244	A 19971129
			WO 1998-GB3496	W 19981124

OTHER SOURCE(S): MARPAT 131:19000
GI

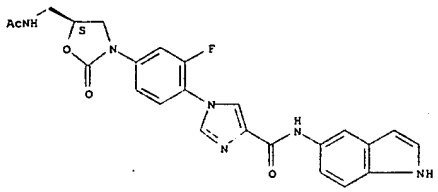


I

AB Title compds. [I; R = Z1ZCH2R1; R1 = Cl, F, OH, alkoxy, NHCORa, etc.; Ra = H, CH2Cl, alkyl, alkoxy, etc.; R4 = YR2 or CH(OH)YR2; R2 = (un)substituted heterocyclyl or -heteroaryl; R5,R6 = H, halo, CF3, alkyl; Y = (CH2)m, CO(CH2)m, CONH(CH2)m, etc.; Z = 2-oxooxazolidine-3,5-diyl throughout; Z1 = (2-fluoro) 1,4-phenylene, 2,6-difluoro-1,4-phenylene; m = 0-3] were prepared
Thus, I (R = Z1R3, R4 = CH2R7, R5 = R6 = H, Z1 = 2-fluoro-1,4-phenylene)(II; R3 = NHCO2CH2Ph, R7 = Me3CMe2SiO)(preparation given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in 4

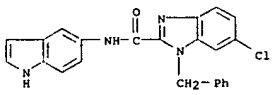
L5 ANSWER 28 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
steps to (R)-II (R3 = ZCH2NHAc)(III; R7 = OH) which was thioetherified by pyrimidine-2-thiol to give III (R7 = 2-pyrimidinylthio). Data for biol. activity of I prepd. I were given.
IT 226384-81-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenyloxazolidinones as bactericides)
RN 226384-81-2 HCAPLUS
CN 1H-Imidazole-4-carboxamide, 1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

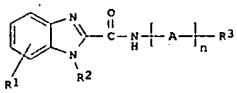
L5 ANSWER 29 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L5 ANSWER 29 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:603047 HCAPLUS
DOCUMENT NUMBER: 129:285986
TITLE: Benzimidazole derivatives as immunosuppressant and antiinflammatory drugs
INVENTOR(S): Nishi, Takao; Sato, Seiji; Eitani, Takeshi; Yukawa, Hirotaka; Koga, Nobuyuki; Saito, Mikiyasu; Yoshinaga, Shinji
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 51 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10245338 <--	A2	19980914	JP 1998-569	19980106
JP 2858002	B2	19990217		
PRIORITY APPLN. INFO.:			JP 1997-74	A 19970106

OTHER SOURCE(S): MARPAT 129:285986
GI



I

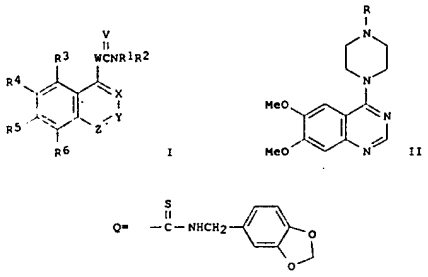
AB Benzimidazole derivs. (I; R1 = H, halogen; R2 = Ph low alkyl; R3 = indolyl, indolinyl heterocyclic ring; A = low alkylenyl; n = 0, 1) and their salts are claimed as cGMP PDE inhibitors, cell proliferation inhibitors, collagen synthesis and secretion inhibitors, immunosuppressant and antiinflammatory drugs. I were prepared, and their activities were tested in animal models. Formulation examples e.g. tablets and injections of I were also given.
IT 187738-82-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzimidazole derivs. as immunosuppressant and antiinflammatory drugs)
RN 187738-82-5 HCAPLUS
CN 1H-Benzimidazole-2-carboxamide, 6-chloro-N-1H-indol-5-yl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 30 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:219795 HCAPLUS
DOCUMENT NUMBER: 128:257447
TITLE: Preparation of nitrogenous heterocyclic compounds inhibiting phosphorylation of platelet-derived growth factors (PDGF) receptors
INVENTOR(S): Matsuno, Kenji; Ichimura, Michio; Nomoto, Yuji; Fujiwara, Shigeki; Ide, Shinichi; Tsukuda, Eiji; Irie, Junko; Oda, Shoji
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 312 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9814431 <--	A1	19980409	WO 1997-JP3510	19971001
W: AU, BG, BR, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2239227 <--	AA	19980409	CA 1997-2239227	19971001
AU 9744708 <--	A1	19980424	AU 1997-44708	19971001
AU 719392	B2	20000511		
EP 882717 <--	A1	19981209	EP 1997-943133	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1208404 <--	A	19990217	CN 1997-191741	19971001
MX 9804356 <--	A	20000831	MX 1998-4356	19980601
US 6169088 <--	B1	20010102	US 1998-88199	19980601
US 6207667 <--	B1	20010327	US 2000-481544	20000112
US 2002068734 <--	A1	20020606	US 2000-734918	20001213
US 6472391	B2	20021029		
US 2003229077 <--	A1	20031211	US 2002-227302	20020826
US 6750218	B2	20040615		
PRIORITY APPLN. INFO.:			JP 1996-260743	A 19961001
			WO 1997-JP3510	W 19971001
			US 1998-88199	A3 19980601
			US 2000-481544	A3 20000112
			US 2000-734918	A3 20001213

OTHER SOURCE(S): MARPAT 128:257447

L5 ANSWER 30 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
GI

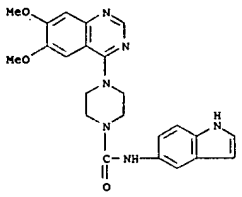


AB Nitrogenous heterocyclic compds. of general formula [I; wherein V is oxygen or sulfur; W is 1,4-piperazinediyl or 1,4-homopiperazinediyl which may be substituted with unsubstituted alkyl on the ring; X is nitrogen or C-R9; Y is nitrogen or C-R8; Z is nitrogen or C-R7, with at least one of X, Y and Z being nitrogen; R1 is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted cycloalkyl or the like; R2 is substituted alkyl, substituted or unsubstituted cycloalkyl or the like; R3, R4, R5 and R6 are each independently hydrogen, halogeno, substituted or unsubstituted alkyl, nitro, cyano, (un)substituted OH or NH2 or the like; R7, R8 = R1, halogeno or the like; R9 is hydrogen or acyl] and pharmacol. acceptable salts thereof are prepared These compds. inhibit the phosphorylation of PDGF acceptors and the abnormal proliferation or migration of cells and so are effective in preventing or treating cell proliferative diseases such as arterial sclerosis, vascular reocclusion diseases, cancer, and glomerulosclerosis. Thus, 6,7-dimethoxy-4-piperazinylquinazoline was dissolved in ethanol, followed by adding Ph isocyanate, and the resulting mixture was heated at reflux for 10 min to give 4(4-quinazolinyl)piperazine derivative (II; R = CONHPh). II (R = Q) in vitro showed IC50 of 0.03 μM for inhibiting the phosphorylation of PDGF receptor. Pharmaceutical formulations, e.g. tablet containing II (R = N-p-nitrophenylcarbamoyl), were prepared

IT 205255-39-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L5 ANSWER 30 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(prepn. of nitrogenous heterocyclic compds. inhibiting phosphorylation of platelet-derived growth factors (PDGF) receptors)

RN 205255-39-6 HCAPLUS
CN 1-Piperazinecarboxamide, 4-(6,7-dimethoxy-4-quinazolinyl)-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 31 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:197401 HCAPLUS
DOCUMENT NUMBER: 128:257330
TITLE: Preparation of piperidinylindoles and related compounds as serotonin 5-HT1F agonists
INVENTOR(S): Johnson, Kirk W.; Phebus, Lee A.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Johnson, Kirk W.; Phebus, Lee A.
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811895	A1	19980326	WO 1997-US14576	19970815

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W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9740748	A1	19980414	AU 1997-40748	19970815

<--

EP 832650	A2	19980401	EP 1997-307202	19970917

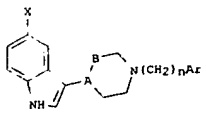
<--

EP 832650	A3	19980902		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.:	US 1996-25271P	P	19960918
	WO 1997-US14576	W	19970815

OTHER SOURCE(S): MARPAT 128:257330
GI

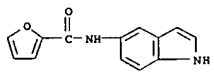


AB Piperidinylindoles I (A-B = CHCH2, C:CH; X = H, halo, alkoxy, OH, etc.; n = 1-4; Ar = pyridinyl, pyrrolyl, pyrazolyl derivative) were prepared as serotonin 5-HT1F agonists, useful for the prevention of migraine. E.g., reaction of 5-benzyloxyindole and 4-piperidone hydrochloride gave 97.6% 5-benzyloxy-3-[1,2,5,6-tetrahydro-4-pyridinyl]-1H-indole. Hydrogenation/hydrogenolysis of the latter gave 5-hydroxy-3-(4-

L5 ANSWER 31 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
piperidinyl)-1H-indole oxalate. Also prepd. were tetrahydrocarbazoles and cyclohepta[7,6-b]indoles.

IT 201857-66-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinylindoles and related compds. as serotonin 5-HT1F agonists)

RN 201857-66-1 HCAPLUS
CN 2-Furancarboxamide, N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



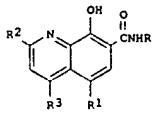
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 32 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:180848 HCAPLUS
DOCUMENT NUMBER: 128:243960
TITLE: 8-Hydroxy-7-substituted quinolines as anti-viral agents
INVENTOR(S): Vaillancourt, Valerie A.; Romines, Karen R.; Romero, Arthur G.; Tucker, John A.; Strohbach, Joseph W.; Bezencon, Olivier; Thaisrivongs, Suvit; et al.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA
SOURCE: PCT Int. Appl., 280 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9811073	A1	19980319	WO 1997-US15310	19970905
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2262786	AA	19980319	CA 1997-2262786	19970905
AU 9741721	A1	19980402	AU 1997-41721	19970905
EP 927164	A1	19990707	EP 1997-939690	19970905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6310211	B1	20011030	US 1997-924683	19970905
JP 2002505660	T2	20020219	JP 1998-513685	19970905
US 6211376	B1	20010403	US 1999-425789	19991022
US 6252080	B1	20010626	US 1999-425564	19991022
US 6500842	B1	20021231	US 2001-14780	20011023
PRIORITY APPLN. INFO.:			US 1996-25870P	P 19960910
			US 1997-50720P	P 19970625
			US 1997-924683	A3 19970905
			WO 1997-US15310	W 19970905

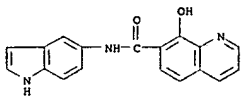
OTHER SOURCE(S): MARPAT 128:243960
GI

L5 ANSWER 32 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

AB The present invention provides for 8-hydroxy-7-substituted quinoline compds. I (R = alkyl, alkylamino, alkoxyalkyl, etc.; R1 = H, F, Cl, Br, Cf3, etc.; R2 = H, alkyl, OH, arylalkenyl, etc.; R3 = H, OH, CF3, C1-C3alkyl) are prepared as anti-viral agents. Specifically, these compds. have anti-viral activity against the herpes virus, cytomegalovirus (CMV). Many of these compds. are also active against other herpes viruses, such as the varicella zoster virus, the Epstein-Barr virus, the herpes simplex virus and the human herpes virus type 8 (HHV-8).
IT 205039-50-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 8-hydroxy-7-substituted quinolines as anti-viral agents)
RN 205039-50-5 HCAPLUS
CN 7-Quinolinecarboxamide, 8-hydroxy-N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

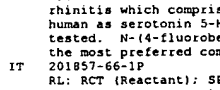
L5 ANSWER 33 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:124013 HCAPLUS
DOCUMENT NUMBER: 128:192544
TITLE: Preparation of indole and carbazole derivatives as serotonin agonists
INVENTOR(S): Johnson, Kirk W.; Phebus, Lee A.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Johnson, Kirk W.; Phebus, Lee A.
SOURCE: PCT Int. Appl., 271 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9806402	A1	19980219	WO 1997-US14097	19970812
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5962473	A	19991005	US 1997-906770	19970805
CA 2263550	AA	19980219	CA 1997-2263550	19970812
EP 824917	A2	19980225	EP 1997-306130	19970812
EP 824917	A3	20000830		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9740615	A1	19980306	AU 1997-40615	19970812
AU 716904	B2	20000309		
BR 9711147	A	19990817	BR 1997-11147	19970812
CN 1233180	A	19991027	CN 1997-198718	19970812
NZ 334029	A	20000728	NZ 1997-334029	19970812
JP 2000516233	T2	20001205	JP 1998-509943	19970812
CZ 289998	B6	20020515	CZ 1999-440	19970812
KR 2000035789	A	20000626	KR 1999-701285	19990213
NO 9900701	A	19990416	NO 1999-701	19990215
US 6380201	B1	20020430	US 1999-262726	19990304
PRIORITY APPLN. INFO.:			US 1996-24096P	P 19960816
			US 1997-906770	A3 19970805
			WO 1997-US14097	W 19970812

L5 ANSWER 33 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 128:192544
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I (A-B = CHCH2, C:CH; Ar = pyridinyl, pyrrolyl, (un)substituted pyrazolyl; X = H, halo, alkoxy, OH, benzyloxy, carboxamido, alkyl, alkylthio; p = 1-4), II (R = H, alkyl, naphthylalkyl, naphthylthioalkyl, phenylthioalkyl, etc.; R1 = H, alkyl; X = alkylthio, alkylcarbonyl, alkylsulfonylamido, etc.), III (R2 = H, alkyl, arylethyl; R3 = H, alkyl, arylethyl; X = OH, alkylcarbonylamino, alkylcarbonyl, etc.; m = 0-1; n = 1-2), IV (R2 = alky; R3 = alkyl, cycloalkyl, etc.; R4 = alkyl, phenyl; R5 = alkyl, cycloalkyl, (un)substituted Ph, naphthyl, etc.), and pharmaceutically acceptable acid salts were prepared and methods for the treatment or amelioration of the symptoms of the common cold or allergic rhinitis which comprises administering the title compds. and salts to human as serotonin 5-HT agonists in both injectable and oral compns. were tested. N-(4-fluorobenzoyl)-5-amino-3-(1-methylpiperidin-4-yl)-indole is the most preferred compound
IT 201857-66-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole and carbazole derivs. as 5-HT agonists)
RN 201857-66-1 HCAPLUS
CN 2-Furancarboxamide, N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

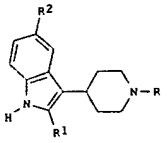
L5 ANSWER 34 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:55467 HCAPLUS
DOCUMENT NUMBER: 128:127937
TITLE: Preparation of 3-(4-piperidinyl)indoles as 5-HT1F agonists
INVENTOR(S): Audia, James Edmund; Dressman, Bruce Anthony; Droste, James Joseph; Fritz, James Erwin; Kaldor, Stephen Warren; Koch, Daniel James; Krushinski, Joseph Herman, Jr.; Nissen, Jeffrey Scott; Rocco, Vincent Patrick; Schaus, John Mehnert; Thompson, Dennis Charles
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: U.S., 49 pp., Cont.-in-part of U.S. Ser. No. 407,553, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5708008	A	19980113	US 1996-619783	19960320
CA 2215322	AA	19960926	CA 1996-2215322	19960315
WO 9629075	A1	19960926	WO 1996-US3500	19960315
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9653112	A1	19961008	AU 1996-53112	19960315
AU 702322	B2	19990218		
CN 1184425	A	19980610	CN 1996-193881	19960315
JP 11502816	T2	19990309	JP 1996-528501	19960315
AT 198332	E	20010115	AT 1996-301845	19960319
ES 2153078	T3	20010216	ES 1996-301845	19960319
PT 733628	T	20010629	PT 1996-301845	19960319
BR 9601061	A	19980106	BR 1996-1061	19960320
NO 9704220	A	19971104	NO 1997-4220	19970912
US 5962474	A	19991005	US 1997-977526	19971124
GR 3035487	T3	20010531	GR 2001-400330	20010228

PRIORITY APPLN. INFO.: US 1995-407553 B2 19950320

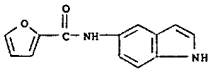
L5 ANSWER 34 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
WO 1996-US3500 W 19960315
US 1996-619783 A3 19960320

OTHER SOURCE(S): MARPAT 128:127937
GI



I

AB Title compds. (I; R,R1 = H or alkyl; R2 = PhS, alkanoyl, COPh, heteroarylcarbonyl, -carbamoyl, etc.; dashed line = optional bond) were prepared Thus, 5-bromoindole was aminated by 1-methyl-4-piperidone and the product condensed with (MeONMe)2CO to give I (R = Me, R1 = H)(II; R2 = MeONMeCO) which was treated with 4-(MeO)C6H4Br/BuLi to give II [R2 = COC6H4(OMe)-4]. Data for biol. activity of I were given.
IT 201857-66-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-(4-piperidinyl)indoles as 5-HT1F agonists)
RN 201857-66-1 HCAPLUS
CN 2-Furancarboxamide, N-1H-indol-5-yl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

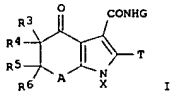
L5 ANSWER 35 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:499171 HCAPLUS
DOCUMENT NUMBER: 127:190644
TITLE: Preparation of fused pyrrolecarboxamides as GABA brain receptor ligands.
INVENTOR(S): Albaugh, Pamela; Liu, Gang; Shaw, Kenneth; Hutchison, Alan
PATENT ASSIGNEE(S): Neurogen Corp., USA; Albaugh, Pamela; Liu, Gang; Shaw, Kenneth; Hutchison, Alan
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9726243	A1	19970724	WO 1997-US519	19970114
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5804686	A	19980908	US 1996-588711	19960119
CA 2243317	AA	19970724	CA 1997-2243317	19970114
AU 9717466	A1	19970811	AU 1997-17466	19970114
CN 1209805	A	19990303	CN 1997-191759	19970114
BR 9707051	A	19990720	BR 1997-7051	19970114
JP 2000503321	T2	20000321	JP 1997-526110	19970114
NZ 330861	A	20000327	NZ 1997-330861	19970114
EP 1019372	A1	20000719	EP 1997-904754	19970114
EP 1019372	B1	20060405		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
RU 2167151	C2	20010520	RU 1998-115534	19970114
AP 1015	A	20010928	AP 1998-1297	19970114
IL 125391	A1	20040725	IL 1997-125391	19970114
AT 322480	E	20060415	AT 1997-904754	19970114
ZA 9708292	A	19980525	ZA 1997-8292	19970915
NO 9803315	A	19980903	NO 1998-3315	19980717
NO 312670	B1	20020617		

PRIORITY APPLN. INFO.: US 1996-588711 A1 19960119

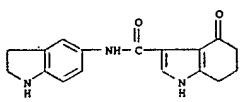
L5 ANSWER 35 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
WO 1997-US519 W 19970114

OTHER SOURCE(S): MARPAT 127:190644
GI



I

AB Title compds. [I; G = Q(CH2)kW(CH2)mZ; Q = Ph, thienyl, pyridyl optionally mono- or disubstituted with OH or halo; T = H, halo, OH, amino alkoxy; W = O, N, S, (substituted) methylene; X = H, OH, alkyl; Z = OH, alkoxy, cycloalkylalkoxy, amino, acylamino, atoms to form a 1-ring with Q; A = (CH2)n; n = 0-3; R3, R4, R5, R6 = H, alkyl, COR11, CO2R11, CONR12R13; R11 = alkyl, cycloalkyl; R12, R13 = H, alkyl, cycloalkyl, PH, pyridyl; NR12R13 = morpholinyl, piperidinyl, pyrrolidinyl, alkylpiperazinyl], were prepared Thus, 4-oxo-4,5,6,7-tetrahydro-1H-indole-3-carboxylic acid (preparation given) and Et3N in DMF were treated with EtO2CCl and then with 3-[(N-trifluoroacetyl)methylaminomethyl]aniline (preparation given) to give N-[3-(methylaminomethyl)phenyl]-4-oxo-4,5,6,7-tetrahydro-1H-indole-3-carboxamide. I showed GABAa receptor binding activity with Ki = 0.24-90 nM.
IT 194098-46-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyrrolecarboxamides as GABA brain receptor ligands)
RN 194098-46-9 HCAPLUS
CN 1H-Indole-3-carboxamide, N-(2,3-dihydro-1H-indol-5-yl)-4,5,6,7-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)



L5 ANSWER 35 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L5 ANSWER 36 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:411067 HCAPLUS
DOCUMENT NUMBER: 127:121726
TITLE: Preparation of 3-oxo-pyrido[1,2-a]benzimidazole-4-carboxyl and 4-oxo-azepino[1,2-a]benzimidazole-5-carboxyl derivatives useful in treating central system disorders
INVENTOR(S): Maryanoff, Bruce E.; Mccomsey, David F.; Ho, Winston
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 932,176, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5639760	A	19970617	US 1995-387720	19950216
WO 9404532	A1	19940303	WO 1993-US7794	19930818
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6218384	B1	20010417	US 1997-896301	19970630

PRIORITY APPLN. INFO.:
US 1992-932176 B2 19920819
WO 1993-US7794 W 19930818
US 1995-387720 A3 19950216

OTHER SOURCE(S): MARPAT 127:121726
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

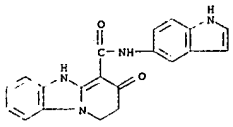
AB The title compds. [I; R1 = C1-12 alkyl, C3-10 cycloalkyl, Ph, etc.; R2 = H, C1-12 alkyl, C3-10 cycloalkyl, (un)substituted aralkyl; R = H, C1-8 alkyl, halo, etc.; n = 0; R3, R4 = H, C1-8 alkyl, halo, etc.; X = O, S; Y = NH, O, S; YR1 = NH2], ligands for the BZD binding site on GABA-A receptors, and therefore useful as muscle relaxants, hypnotics/sedatives including sleep aids, anxiolytics, anticonvulsants/antiepileptics, anti-inebriants, and antidotes for drug overdose (particularly benzodiazepine overdose), were prepared Thus, reaction of 4minonitrile II with Et ethoxycarbonylacetimidate in EtOH followed by treatment of the resulting benzimidazole III with anhydrous HCl(g) in EtOH, cyclization of the

L5 ANSWER 36 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

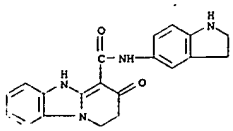
diester IV with NaOEt in EtOH, and reaction of the pyridobenzimidazo deriv. V with 4-aminopyridine afforded the title compd. VI which showed IC50 of 160 nM against benzodiazepine receptor binding.

IT 155201-40-4P 155201-43-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-oxo-pyrido[1,2-a]benzimidazole-4-carboxyl and 4-oxo-azepino[1,2-a]benzimidazole-5-carboxyl derivs. useful in treating central system disorders)

RN 155201-40-4 HCAPLUS
CN Pyrido[1,2-a]benzimidazole-4-carboxamide, 1,2,3,5-tetrahydro-N-1H-indol-5-yl-3-oxo- (9CI) (CA INDEX NAME)



RN 155201-43-7 HCAPLUS
CN Pyrido[1,2-a]benzimidazole-4-carboxamide, N-(2,3-dihydro-1H-indol-5-yl)-1,2,3,5-tetrahydro-3-oxo- (9CI) (CA INDEX NAME)



L5 ANSWER 37 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

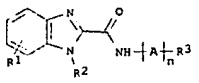
ACCESSION NUMBER: 1997:204148 HCAPLUS
DOCUMENT NUMBER: 126:199567
TITLE: Preparation of novel benzimidazoles as cGMP-phosphodiesterase inhibitors
INVENTOR(S): Nishi, Takao; Sato, Seiji; Nagatani, Takeshi; Yukawa, Hirotaka; Koga, Nobuyuki; Saito, Masahiro; Yoshinaga, Shinji
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9703070	A1	19970130	WO 1996-JP1841	19960703
W: AU, CA, CN, KR, MX, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
TW 381092	B	20000201	TW 1996-85107861	19960628
CA 2198266	AA	19970130	CA 1996-2198266	19960703
CA 2198266	C	20060404		
AU 9663181	A1	19970210	AU 1996-63181	19960703
AU 703073	B2	19990311		
EP 779887	A1	19970625	EP 1996-922213	19960703
EP 779887	B1	20010523		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1158129	A	19970827	CN 1996-190717	19960703
CN 1074413	B	20011107		
PT 779887	T	20010830	PT 1996-922213	19960703
ES 2159036	T3	20010916	ES 1996-922213	19960703
JP 09077764	A2	19970325	JP 1996-178023	19960708
JP 2821674	B2	19981105		
BR 9606154	A	19980908	BR 1996-6154	19961223
US 5998437	A	19991207	US 1997-793312	19970306
HK 1003436	A1	20010817	HK 1998-102607	19980327
GR 3036420	T3	20011130	GR 2001-401271	20010820

PRIORITY APPLN. INFO.:
JP 1995-171807 A 19950707
WO 1996-JP1841 W 19960703

OTHER SOURCE(S): MARPAT 126:199567
GI

L5 ANSWER 37 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

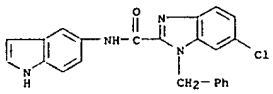


I

AB The title compds. [I; R1 = H, halo; R2 = Ph-lower alkyl; R3 = (un)substituted indolyl, indolinyl, 1H-indazolyl, etc.; A = lower alkylene; n = 0-1], inhibitors of cGMP-phosphodiesterase, proliferation, chronic contact dermatitis and TPA-induced inflammation, and effective agents for treating various arteriosclerotic diseases, were prepared and formulated. Thus, reaction of Et 1-benzyl-6-chlorobenzimidazol-2-carboxylate with 1-[3-(imidazol-1-yl)propyl]-5-aminoindole in the presence of NaOMe in PhMe afforded I [R1 = 6-Cl; R2 = PhCH2; R3 = imidazol-1-yl; A = a bond; n = 0] which showed IC50 of 0.01 μM against cGMP-PDE and IC50 of 0.5 μM against proliferation of rat A10 cells.

IT 187738-82-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of novel benzimidazoles as cGMP-phosphodiesterase inhibitors)

RN 187738-82-5 HCAPLUS
CN 1H-Benzimidazole-2-carboxamide, 6-chloro-N-1H-indol-5-yl-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 38 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:446513 HCAPLUS
DOCUMENT NUMBER: 125:114580
TITLE: Biheteroarylcarbonyl and -carboxamide derivatives with 5-HT2C/2B antagonist activity.
INVENTOR(S): Cassidy, Frederick; Hughes, Ian; Rahman, Shahzad Sharooq; Hunter, David James
PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9611929 <--	A1	19960425	WO 1995-EP3887	19951002

W: JP, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: GB 1994-20521 A 19941012

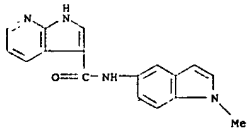
OTHER SOURCE(S): MARPAT 125:114580
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

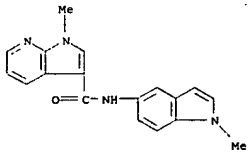
AB The invention relates to title compds. I [A, B, C, D = all C atoms, or one = N and the others = C atoms; E = O, S, CH2, NR1; R1 = H, alkyl; R2 = H, halo, alkyl, alkylthio, NO2, CF3, cyano, NR4R5, CONR4R5, CO2R6, OR7; R3 = groups Q1-Q3; R4-R7 = H, alkyl; R8, R9 = variety of substituents; or R8R9 form (un)substituted 5-membered carbo- or heterocyclic ring; R10-R12 = H, alkyl; X = Y = N; or one of X and Y = N and the other is C or CH; or one of X and Y = CH and the other = C or CH; Z = O, S, CH2, NR13; R13 = H, alkyl]. I have 5-HT2C receptor antagonist activity, and some compds. also exhibit 5-HT2B antagonist activity. The compds. are useful in the treatment of a variety of CNS and GI disorders. For example, pyrrolo[2,3-b]pyridine-3-carboxylic acid was treated with SOCl2, and the resulting acid chloride was amidated with 5-(dimethylamino)indoline and Et3N in CHCl3, to give title compound II. In an in vitro assay for inhibition of [3H]-mesulergine binding to rat or human 5-HT2C clones expressed in 293 cells, the 20 example compds. had pKi values of 5.2-8.3.

IT 178896-58-7P 178896-61-2P 178896-65-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biheteroarylcarbonyl and -carboxamide derivs. as 5-HT2C/5-HT2B antagonists)

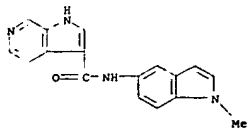
L5 ANSWER 38 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 178896-58-7 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, N-(1-methyl-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



RN 178896-61-2 HCAPLUS
CN 1H-Pyrrolo[2,3-b]pyridine-3-carboxamide, 1-methyl-N-(1-methyl-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



RN 178896-65-6 HCAPLUS
CN 1H-Pyrrolo[2,3-c]pyridine-3-carboxamide, N-(1-methyl-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 39 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:409399 HCAPLUS
DOCUMENT NUMBER: 121:9399
TITLE: Preparation of 3-oxopyrido(1,2-a)benzimidazole-4-carboxyl and 4-oxoazepino(1,2-a)benzimidazole-5-carboxyl derivatives useful in treating central nervous system disorders
INVENTOR(S): Maryanoff, Bruce E.; Mccomsey, David F.; Winston, Ho
PATENT ASSIGNEE(S): McNeilab, Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

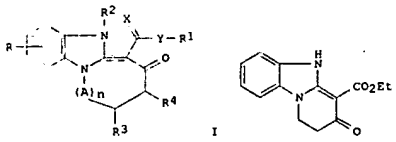
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404532 <--	A1	19940303	WO 1993-US7794	19930818
EP 656002 <--	A1	19950607	EP 1993-920193	19930818
EP 656002	B1	20001108		
JP 08500594 <--	T2	19960123	JP 1994-506521	19930818
JP 3463935	B2	20031105		
HU 72654 <--	A2	19960528	HU 1995-476	19930818
AU 679938 <--	B2	19970717	AU 1993-50808	19930818
AU 9350808	A1	19940315		
BR 9306929 <--	A	19990112	BR 1993-6929	19930818
AT 197455 <--	E	20001111	AT 1993-920193	19930818
PT 656002 <--	T	20010228	PT 1993-920193	19930818
ES 2153842 <--	T3	20010316	ES 1993-920193	19930818
US 5639760 <--	A	19970617	US 1995-387720	19950216
GR 3035292 <--	T3	20010430	GR 2001-400106	20010124

W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

PRIORITY APPLN. INFO.: US 1992-932176 A2 19920819
WO 1993-US7794 W 19930818

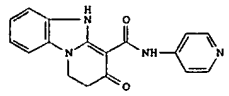
OTHER SOURCE(S): MARPAT 121:9399
GI

L5 ANSWER 39 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I

II



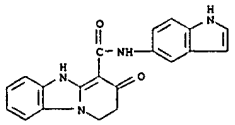
III

AB Title compds. I [R1 = C1-12 alkyl, C3-10 cycloalkyl, (substituted) Ph or aralkyl, various (substituted) heterocycles; R2 = H, C1-12 alkyl, C3-10 cycloalkyl, (substituted) aralkyl; R = H, C1-8 alkyl, halo, lower perfluoroalkyl, OH, lower alkoxy, dialkylamino, alkoxycarbonyl, alkylthio; n = 0, 1; A = (C1-2 alkyl-substituted) CH2; R3,R4 = H, C1-3 alkyl, or form double bond; X = O, S; Y = NH, O, S, with restrictions related to R1], useful in treating disorders of the central nervous system, are prepared by various methods. Thus, in one method, reaction of Et 1,2-dihydro-3-hydroxypyrido(1,2-a)benzimidazole-4-carboxylate (shown as tautomer II, preparation given) with 4-aminopyridine in refluxing xylenes afforded title 3-oxopyrido(1,2-a)benzimidazole-4-carboxyl derivative III. Compds. I were tested for affinity for the benzodiazepine sites of the GABA-A receptor, and they were tested in appropriate screens to evaluate specific activities. Compds. I are useful as CNS agents and in the treatment of various disorders: anxiety, convulsions, sleeplessness, muscle spasm, and benzodiazepine drug overdose. Pharmaceutical compns. (no examples) and methods of treatment are also disclosed.

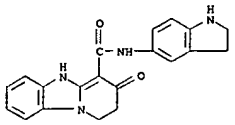
IT 155201-40-4P 155201-43-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of CNS disorders)

RN 155201-40-4 HCAPLUS
CN Pyrido[1,2-a]benzimidazole-4-carboxamide, 1,2,3,5-tetrahydro-N-1H-indol-5-yl-3-oxo- (9CI) (CA INDEX NAME)

L5 ANSWER 39 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 155201-43-7 HCAPLUS
CN Pyrido[1,2-a]benzimidazole-4-carboxamide, N-(2,3-dihydro-1H-indol-5-yl)-1,2,3,5-tetrahydro-3-oxo- (9CI) (CA INDEX NAME)

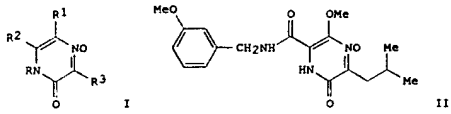


L5 ANSWER 40 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:124562 HCAPLUS
DOCUMENT NUMBER: 118:124562
TITLE: Preparation of pyrazine oxides as drugs
INVENTOR(S): Tone, Hitoshi; Sato, Seiji; Sato, Hideaki; Tamura, Katsumi; Miyazaki, Toshiki; Nakano, Yoshimasa
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 65 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 511879	A1	19921104	EP 1992-303970	19920501
EP 511879	B1	19950322		
R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE				
CA 2067663	AA	19921102	CA 1992-2067663	19920430
AU 9215908	A1	19921105	AU 1992-15908	19920430
AU 652824	B2	19940908		
CN 1067053	A	19921216	CN 1992-103130	19920430
CN 1038586	B	19980603		
JP 05170747	A2	19930709	JP 1992-110548	19920430
ES 2073246	T3	19950801	ES 1992-303970	19920501
KR 183043	B1	19990501	KR 1992-7486	19920501
US 5459142	A	19951017	US 1993-110797	19930823
PRIORITY APPLN. INFO.:			JP 1991-100049 A	19910501
			US 1992-876454 B1	19920430

OTHER SOURCE(S): MARPAT 118:124562
GI



I

II

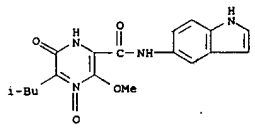
AB Title compds. [I; R = H, alkyl; R1 = alkoxy, alkyl, OH; R2 = (substituted) phenylalkyl, carbamoyl; R3 = alkyl, Ph, phenylalkyl, alkenyl, indolylalkyl] were prepared Thus, 3-isobutyl-5-methoxy-1,2-dihydropyrazin-2-

L5 ANSWER 40 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

one-6-carboxylic acid 4-oxide [prepn. starting from H2NCH2(CO2Et)2 and α-hydroxyiminoisocapronic acid given] in dioxane was stirred with m-methoxybenzylamine, N-hydroxysuccinimide, and DCC to give title compd. II. II inhibited superoxide radicals from stimulated guinea pig macrophage cells with IC50 = 30 + 10-6 g/mL. A pharmaceutical compn. was prepd. contg. II.

IT 145944-05-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

RN 145944-05-4 HCAPLUS
CN Pyrazinecarboxamide, 1,6-dihydro-N-1H-indol-5-yl-3-methoxy-5-(2-methylpropyl)-6-oxo-, 4-oxide (9CI) (CA INDEX NAME)



L5 ANSWER 41 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:128908 HCAPLUS
DOCUMENT NUMBER: 116:128908
TITLE: Isoxazole-4-carboxamides and (hydroxalkylidene)cyanoacetamides as neoplasm inhibitors and antirheumatics
INVENTOR(S): Bartlett, Robert R.; Kaemmerer, Friedrich Johannes
PATENT ASSIGNEE(S): Hoesch A.-G., Germany
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9117748	A1	19911128	WO 1990-EP1800	19901024
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, BR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
CA 2083179	AA	19911119	CA 1990-2083179	19901024
CA 2083179	C	20011023		
AU 9065468	A1	19911210	AU 1990-65468	19901024
AU 649421	B2	19940526		
EP 527736	A1	19930224	EP 1990-915462	19901024
EP 527736	B1	19970416		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
BR 9008022	A	19930406	BR 1990-8022	19901024
JP 05506425	T2	19930922	JP 1990-514415	19901024
JP 2995086	B2	19991227		
HU 64314	A2	19931228	HU 1992-3619	19901024
AT 151633	E	19970515	AT 1990-915462	19901024
RU 2084223	C1	19970720	RU 1992-16445	19901024
ES 2102367	T3	19970801	ES 1990-915462	19901024
RU 2142937	C1	19991220	RU 1994-33835	19901024
CN 1056684	A	19911204	CN 1991-103182	19910516
CN 1051074	B	20000405		
IL 98163	A1	19960131	IL 1991-98163	19910516
SK 281316	B6	20010212	SK 1991-1450	19910516
SK 281317	B6	20010212	SK 1998-1376	19910516

L5 ANSWER 41 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

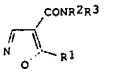
SK 281318	B6	20010212	SK 1999-542	19910516
CZ 290474	B6	20020717	CZ 1991-1450	19910516
ZA 9103762	A	19920129	ZA 1991-3762	19910517
US 5494911	A	19960227	US 1992-938048	19921116
NO 9204433	A	19921117	NO 1992-4433	19921117
NO 180118	B	19961111		
NO 180118	C	19970219		
FI 105683	B1	20000929	FI 1992-5211	19921117
LV 10575	B	19960420	LV 1993-310	19930507
LT 3416	B	19950925	LT 1993-715	19930625
AU 9457992	A1	19940707	AU 1994-57992	19940323
AU 662465	B2	19950831		
HR 940696	B1	20001031	HR 1994-940696	19941019
FI 9501697	A	19950410	FI 1995-1697	19950410
FI 105680	B1	20000929		
US 5532259	A	19960702	US 1995-476278	19950607
CZ 290717	B6	20021016	CZ 1995-2176	19950824
CZ 290736	B6	20021016	CZ 1995-3091	19951123
CZ 290737	B6	20021016	CZ 1995-3092	19951123
JP 11322700	A2	19991124	JP 1999-52108	19990301
JP 3233610	B2	20011126		
JP 11343285	A2	19991214	JP 1999-52107	19990301
JP 3201747	B2	20010827		

PRIORITY APPLN. INFO.:

DE 1990-4016178	A	19900518
DE 1990-4017020	A	19900526
DE 1990-4017043	A	19900526
JP 1990-514415	A3	19901024
WO 1990-EP1800	A	19901024
CZ 1991-1450	A3	19910516
YU 1991-884	A6	19910520
US 1992-938048	A3	19921116

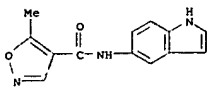
L5 ANSWER 41 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
FI 1992-5211 A 19921117

OTHER SOURCE(S): MARPAT 116:128908
GI



I

AB Title compds. I [R1 = H, C1-6 alkyl, Ph, C1-4 haloalkyl; R2 = H, C1-4 alkyl, phenethyl, benzyl, C2-3 alkenyl; R3 = (substituted) mono-, di- or tricyclic unsatd. C3-13 heterocyclyl containing 1-4 heteroatoms of which 1 may be O or S and the rest are N, (substituted) Ph, (CH2)nCO2R10; NR2R3 = (substituted) 4-9 membered ring which may contain O, S; R10 = H, C1-4 alkyl; n = 1-12] and HOC(R7):C(CN)CONR3R8 [II; R7 = H, C1-17 alkyl, C1-3 haloalkyl, phenethyl, benzyl; R8 = H, Me, C2-3 alkenyl; R3 defined above] and their keto tautomers, some of which are novel, are useful as neoplasm inhibitors and antirheumatics. Thus, a solution of 5-methylisoxazole-4-carbonyl chloride in MeCN was added dropwise to a solution of 4-trifluoromethylaniline in MeCN and the mixture was stirred for 20 min to give N-(4-trifluoromethylphenyl)-5-methylisoxazole-4-carboxamide. The latter was active in vitro against a number of tumor cell lines and had an oral LD50 of 235 mg/kg in rats.
IT 139442-41-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as neoplasm inhibitor and antirheumatic)
RN 139442-41-4 HCAPLUS
CN 4-Isoxazolecarboxamide, N-1H-indol-5-yl-5-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 42 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:207235 HCAPLUS
DOCUMENT NUMBER: 114:207235
TITLE: Preparation of hexahydropyrrolo[2,3-b]indolecarbamates, ureas, amides and related compounds for treatment of memory dysfunction
INVENTOR(S): O'Malley, Gerard J.; Allen, Richard C.; White, John I.
PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA
SOURCE: U.S., 13 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

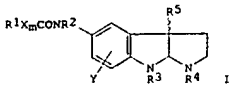
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4983616	A	19910108	US 1990-480706	19900201
US 5091541	A	19920225	US 1990-602559	19901024
EP 440145	A1	19910807	EP 1991-101093	19910128
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FI 9100448	A	19910802	FI 1991-448	19910130
FI 94759	B	19950714		
FI 94759	C	19951025		
HU 60499	A2	19920928	HU 1991-325	19910130
HU 217119	B	19991129		
CZ 281612	B6	19961113	CZ 1991-215	19910130
CA 2035397	AA	19910802	CA 1991-2035397	19910131
CA 2035397	C	20011023		
NO 9100372	A	19910802	NO 1991-372	19910131
NO 175899	B	19940919		
NO 175899	C	19941228		
AU 9170111	A1	19910808	AU 1991-70111	19910131
AU 629094	B2	19920924		
ZA 9100725	A	19911127	ZA 1991-725	19910131
JP 04330076	A2	19921118	JP 1991-29121	19910131
JP 3042902	B2	20000522		
IL 97113	A1	19941021	IL 1991-97113	19910131
KR 182296	B1	19990501	KR 1991-1611	19910131

PRIORITY APPLN. INFO.:

US 1990-480706	A3	19900201

OTHER SOURCE(S): MARPAT 114:207235
GI

L5 ANSWER 42 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

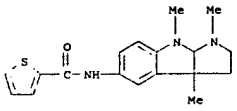


AB Title compds. (X = O, S, NH, alkyl- or aralkylimino; Y = H, Br, Cl, F, O2N, alkyl, alkoxy, trialkylsilyl; R1 = alkyl, haloalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl; R2 = H, alkyl, aralkyl; R3 = alkyl, aralkyl; R4 = H, alkyl, alkenyl alkynyl, CHO, alkylcarbonyl, aralkylcarbonyl, alkoxycarbonyl; R5 = H, alkyl; m = 0, 1) or their salts are prepared I are useful for alleviating memory dysfunction characterized by a cholinergic deficit such as in Alzheimer's disease. 1,2,3,3A,8,8a-hexahydro-5-nitro-1,3a,8-trimethylpyrrolo[2,3-b]indole (preparation given) was dissolved in EtOAc and hydrogenated over PtO2, and to the reduction mixture were added 4-(dimethylamino)pyridine, Et3N and ClCO2Ph in EtOAc to give I (R1 = Ph; R3 = R4 = R5 = Me; R2 = Y = H; X = O; m = 1) which was converted to oxalate salt (II). II at 0.31 mg/kg, s.c., in mice, showed 40% of animals with scopolamine-induced memory deficit reversal.

IT 133492-40-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of memory dysfunction)

RN 133492-40-7 HCAPLUS

CN 2-Thiophenecarboxamide, N-(1,2,3,3a,8,8a-hexahydro-1,3a,8-trimethylpyrrolo[2,3-b]indol-5-yl)- (9CI) (CA INDEX NAME)



L5 ANSWER 43 OF 43 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1973:92403 HCAPLUS

DOCUMENT NUMBER: 78:92403

TITLE: 1,2-Benzothiazines. 6. 3-Carbamoyl-4-hydroxy-2H-1,2-benzothiazine 1,1-dioxides as antiinflammatory agents

AUTHOR(S): Zinnes, Harold; Lindo, Neil A.; Sircar, Jagadish C.; Schwartz, Martin L.; Shavel, John, Jr.

CORPORATE SOURCE: Dep. Org. Chem., Warner-Lambert Res. Inst., Morris Plains, NJ, USA

SOURCE: Journal of Medicinal Chemistry (1973), 16(1), 44-8
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

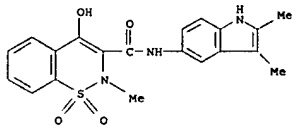
LANGUAGE: English

AB 4-Hydroxy-2-methyl-N-phenyl-2H-1,2-benzothiazine-3-carboxanilide 1,1-dioxide (I) [38859-30-2] (100 mg/kg orally) was approx. as active an antiinflammatory agent as phenylbutazone [50-33-9] against carrageenin-induced rat paw edema. Various derivs. of I tested were less active or inactive. A new method for synthesis of I and its derivs. involved the reaction of the known 2-substituted-4-(1-pyrrolidino)-2H-1,2-benzothiazine 1,1-dioxide with phosgene in the presence of Et3N to form the 3-chloroformyl derivative, which reacted with the appropriate amine; acid hydrolysis yielded the desired compound

IT 40713-58-4
RL: BIOL (Biological study)
(inflammation inhibitor)

RN 40713-58-4 HCAPLUS

CN 2H-1,2-Benzothiazine-3-carboxamide, N-(2,3-dimethyl-1H-indol-5-yl)-4-hydroxy-2-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



Andrew Freistein 10/530,767

=>

---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	227.32	394.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-32.25	-32.25

STN INTERNATIONAL LOGOFF AT 10:53:18 ON 18 OCT 2006